

09/559,881

=> d his

(FILE 'HOME' ENTERED AT 18:55:48 ON 11 JUN 2003)

FILE 'REGISTRY' ENTERED AT 18:55:56 ON 11 JUN 2003

L1 STRUCTURE UPLOADED
L2 QUE L1
L3 50 S L2

FILE 'STNGUIDE' ENTERED AT 18:56:22 ON 11 JUN 2003

FILE 'REGISTRY' ENTERED AT 18:58:05 ON 11 JUN 2003

L4 STRUCTURE UPLOADED
L5 QUE L4
L6 5 S L5
L7 6006 S L2 SSS FUL
L8 174 S L5 SUB=L7 FUL

FILE 'CAPLUS' ENTERED AT 18:59:03 ON 11 JUN 2003

L9 22 S L8

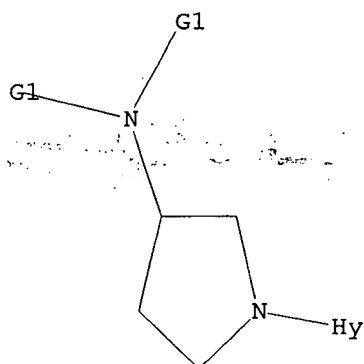
FILE 'REGISTRY' ENTERED AT 18:59:23 ON 11 JUN 2003

FILE 'CAPLUS' ENTERED AT 18:59:48 ON 11 JUN 2003

=> d 12

L2 HAS NO ANSWERS

L1 STR



G1 C,H

Structure attributes must be viewed using STN Express query preparation.

L2 QUE ABB=ON PLU=ON L1

=> d 15

L5 HAS NO ANSWERS

L4 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

09/559,881

L5 QUE ABB=ON PLU=ON L4

=> d bib abs hitstr 19 1-22

~~19~~ ANSWER 1 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2003:242333 CAPLUS

~~DN~~ 138:271701

TI Preparation of pteridinones as modulators of chemokine receptor activity

IN Bonnert, Roger Victor; Cage, Peter Alan; Hunt, Simon Frazer; Walters, Iain Alastair Stewart; Austin, Rupert Philip

PA Astrazeneca AB, Swed.; Astrazeneca UK Limited

SO PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DT Patent

LA English

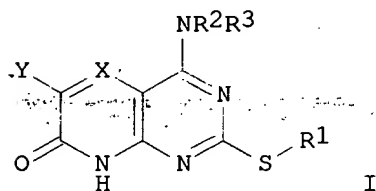
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|--|----------|-----------------|----------|
| PI | WO 2003024966 | A1 | 20030327 | WO 2002-GB3684 | 20020809 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

PRAI SE 2001-2716 A 20010814

OS MARPAT 138:271701

GI



AB The title compds. [I; R1 = cycloalkyl, alkyl, alkenyl, etc.; R2, R3 = H, cycloalkyl, alkyl, etc.; Y = OR4, SR4, heteroaryl, etc.; R4 = H, alkyl, aryl, etc.; X = N], useful for treating a chemokine mediated disease wherein the chemokine binds to one or more chemokine receptors, were prepd. E.g., a 7-step synthesis of (R)-I [R1 = (2,3-difluorophenyl)methyl; R2 = (1R)-2-hydroxy-1-methylethyl; R3 = H; Y = (2-hydroxyethyl)amino; X = N], starting from 4,6-diamino-2-pyrimidinethiol and 2,3-difluorobenzyl bromide, was given. The exemplified compds. I were found to have IC50 values of < 10 .mu.M against CXCR2 receptor binding.

IT 503271-66-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pteridinones as modulators of chemokine receptor activity)

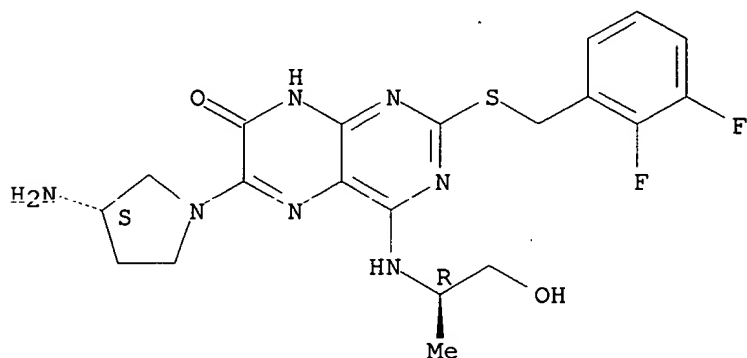
RN 503271-66-7 CAPLUS

CN 7(1H)-Pteridinone, 6-[(3S)-3-amino-1-pyrrolidinyl]-2-[[[(2,3-difluorophenyl)methyl]thio]-4-[[[(1R)-2-hydroxy-1-methylethyl]amino]- (9CI)

09/559,881

(CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

aralkyl, aryl; R3, R4 = H, HO, lower alkyl, aralkyl, aryl]. These compds. exhibit neuropeptide Y (NPY) receptor antagonism and are therefore useful as treating agents for various diseases in which NPY participates such as circulatory diseases, central nervous system diseases, and metabolic diseases, in particular over eating (hyperphagia), obesity, and diabetes. Thus, 64 mg 4-phenylcyclohexylamine hydrochloride and 115 mg 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride were added to a soln. of 74 mg trans-3'-oxospiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxylic acid in 2 mL pyridine and stirred at room temp. for 24 h to give trans-3'-oxo-N-(trans-4-phenylcyclohexyl)spiro[cyclohexane-1,1'(3'H)-isobenzofuran]-4-carboxamide (II). II and trans-N-[(S)-1-benzyl-2-(benzylamino)ethyl]-1-(methanesulfonyl)spiro[indoline-3,1'-cyclohexane]-4'-carboxamide showed IC50 of 2.5 and 0.69 nM for inhibiting the binding of [125I]peptide YY to human NPY Y5 receptor.

IT 497238-54-7P

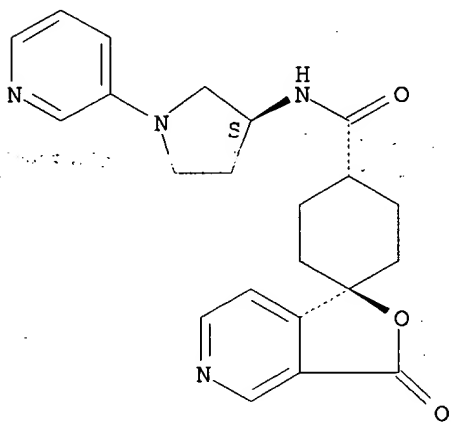
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of spiro[isoquinoline-piperidine], spiro[indoline-piperidine], and spiro[azaisobenzofuran-cyclohexane], and spirocyclohexane compds. as antagonists of neuropeptide Y receptor for treating overeating, obesity, and diabetes)

RN 497238-54-7 CAPLUS

CN Spiro[cyclohexane-1,1'(3'H)-furo[3,4-c]pyridine]-4-carboxamide, 3'-oxo-N-[(3S)-1-(3-pyridinyl)-3-pyrrolidinyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~19~~ ANSWER 3 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2002:885976 CAPLUS

~~DN~~ 137:370321

TI Préparation of adenosine analogs for the treatment of insulin resistance syndrome and diabetes

IN Herling, Andreas; Jaehne, Gerhard; Maguire, Martin P.; Spada, Alfred P.; Myers, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Ewing, William R.

PA Aventis Pharma Deutschland GmbH, Germany

SO Eur. Pat. Appl., 41 pp.

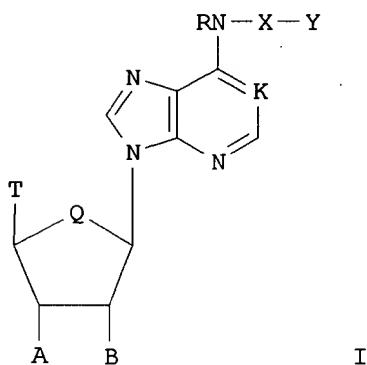
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 1258247 | A1 | 20021120 | EP 2001-111651 | 20010514 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| | WO 2002092093 | A1 | 20021121 | WO 2002-EP5301 | 20020514 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| PRAI | EP 2001-111651 | A | 20010514 | | |
| OS | MARPAT 137:370321 | | | | |
| GI | | | | | |



AB The invention relates to the use of adenosine compds. I wherein K is N, N.fwdarw.O, or CH; Q is CH₂ or O; R is hydrogen, alkyl, allyl, 2-methallyl, 2-butenyl, cycloalkyl; X is N-contg. heterocycle; E is O or S; Y is hydrogen, alkyl, aralkyl, aryl; T is hydrogen, alkyl, acyl, thioacyl, halo, carboxyl; amide, thioamide; A and B are independently is hydrogen, OH, alkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, and certain

derivs. thereof for producing a medicine for the treatment of the insulin resistance syndrome and diabetes. Thus, (2R,3R,4S,5R)-2-hydroxymethyl-5-[6-[1-(5-chloropyridin-2-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl]-tetrahydrofuran-3,4-diol was prepd. for the treatment of insulin resistance syndrome and diabetes. Measurement of insulin sensitivity in conscious rats and in vitro adenosine receptor binding affinity detn. were reported.

IT 202267-58-1P

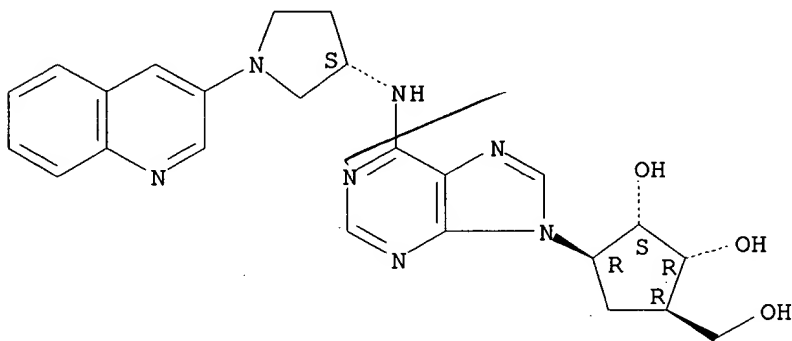
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of adenosine analogs for the treatment of insulin resistance syndrome and diabetes)

RN 202267-58-1 CAPLUS

CN 1,2-Cyclopentanediol, 3-(hydroxymethyl)-5-[6-[[[(3S)-1-(3-quinolinyl)-3-pyrrolidinyl]amino]-9H-purin-9-yl]-, (1S,2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~10~~ ANSWER 4 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2002:814853 CAPLUS

~~DN~~ 137:325431

~~TI~~ Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors

~~IN~~ Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manjo; Levine, Barry H.

~~PA~~ USA

~~SO~~ U.S. Pat. Appl. Publ., 134 pp., Cont.-in-part of U.S. 6,417,185.

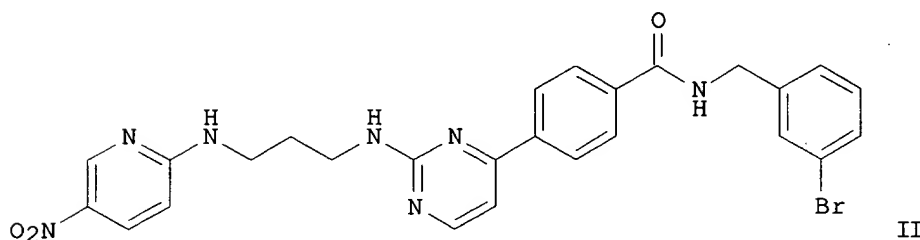
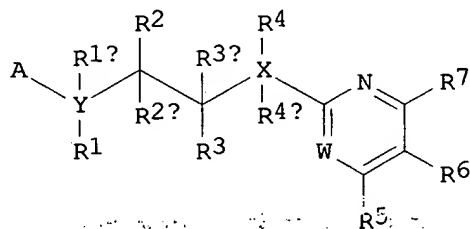
CODEN: USXXCO

~~DT~~ Patent

~~LA~~ English

~~FAN.CNT~~ 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|------|----------|-----------------|----------|
| PI | US 2002156087 | A1 | 20021024 | US 2001-949035 | 20010906 |
| | US 6417185 | B1 | 20020709 | US 1999-336038 | 19990618 |
| PRAI | US 1999-336038 | A2 | 19990618 | | |
| | US 2000-230480P | P | 20000906 | | |
| | US 1998-89978P | P | 19980619 | | |
| OS | MARPAT 137:325431 | | | | |
| GI | | | | | |



AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted (hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidiny, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO₂, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidiny, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prep'd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example,

2-chloro-5-nitropyridine was aminated by $\text{H}_2\text{N}(\text{CH}_2)_3\text{NH}_2$ and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C₆H₄CONHCH₂C₆H₄Br-3 and Cs₂CO₃ to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.β. in a cell free assay with IC₅₀ values of < 1 .μM. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT **252917-04-7P**, 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)-

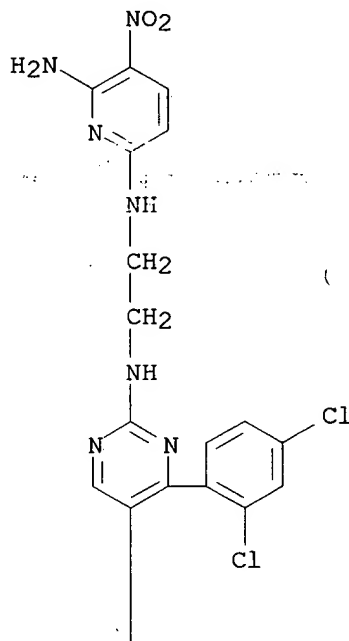
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

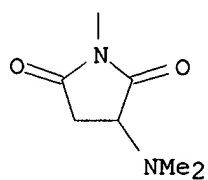
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

RN 252917-04-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

PAGE 1-A





~~DO~~ ANSWER 5 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2002:790220 CAPLUS

~~DN~~ 137:294982

TI Preparation of piperazinyldipyrzinyl aryloxyalkyl ethers as 5-HT_{2C} receptor agonists

IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias

PA Biovitrum AB, Swed.

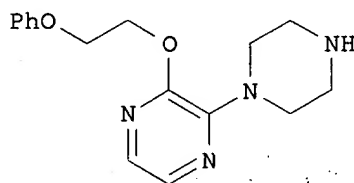
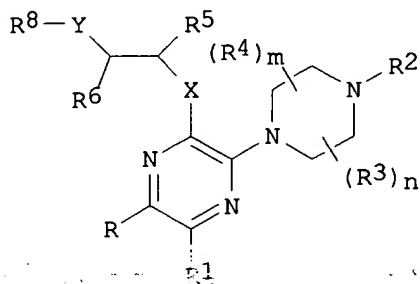
SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

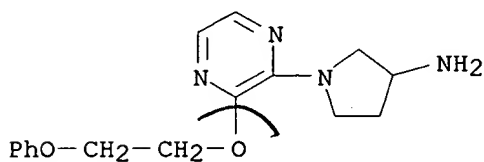
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|------|----------|-----------------|----------|
| PI | US 6465467 | B1 | 20021015 | US 2000-589282 | 20000608 |
| | US 2003092694 | A1 | 20030515 | US 2002-269670 | 20021011 |
| PRAI | SE 1999-1884 | A | 19990521 | | |
| | US 1999-137527P | P | 19990603 | | |
| | US 2000-573348 | B2 | 20000519 | | |
| | US 2000-589282 | A3 | 20000608 | | |
| OS | MARPAT 137:294982 | | | | |
| GI | | | | | |



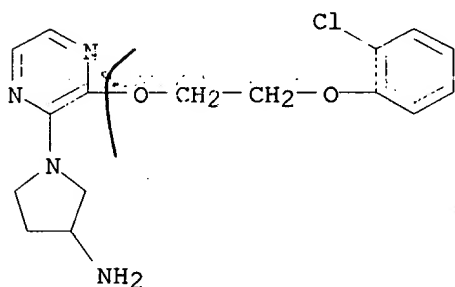
AB The title compds. (I) [wherein X and Y = independently O, S, or NR₇; R and R₁ = independently H, alkyl, or halo; or C₂RR₁ = optionally halo substituted benzene or thiophene; R₂ = H, OH, or alkyl; R₃, R₄, and R₅ = independently H or alkyl; R₆ = H or alkyl; or CYR₆R₈ for a 5-6 membered heterocycle; R₇ = H or alkyl, preferably Me or Et; R₈ = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT_{2C} receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K₂CO₃ in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT_{2C} receptor protein with K_i values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds. I exhibited agonist efficacy at the 5-HT_{2C} receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral

administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

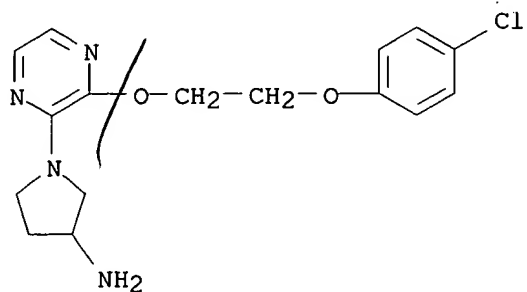
IT **313654-42-1P**, 2-(Phenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether **313654-43-2P**, 2-(2-Chlorophenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether **313654-45-4P**, 2-(4-Chlorophenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT_{2C} receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)
 RN 313654-42-1 CAPLUS
 CN 3-Pyrrolidinamine, 1-[3-(2-phenoxyethoxy)pyrazinyl]- (9CI) (CA INDEX NAME)



RN 313654-43-2 CAPLUS
 CN 3-Pyrrolidinamine, 1-[3-[2-(2-chlorophenoxy)ethoxy]pyrazinyl]- (9CI) (CA INDEX NAME)



RN 313654-45-4 CAPLUS
 CN 3-Pyrrolidinamine, 1-[3-[2-(4-chlorophenoxy)ethoxy]pyrazinyl]- (9CI) (CA INDEX NAME)



RE.CNT 32

THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~IS~~ ANSWER 6 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2002:615577 CAPLUS

~~DN~~ 137:169536

TI Preparation of aryl-substituted tetrahydropyrimidines and related compounds as melanocortin-4 receptor binding compounds

IN Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.

PA Millennium Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 228 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|----------|
| PI | WO 2002062766 | A2 | 20020815 | WO 2002-US3566 | 20020207 |
| | WO 2002062766 | A3 | 20021003 | | |

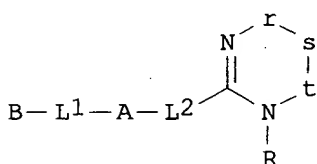
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

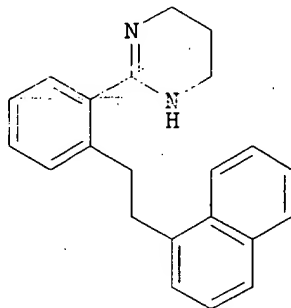
PRAI US 2001-778468 A 20010207

OS MARPAT 137:169536

GI



I



II

AB Title compds. I [wherein A and B = independently (un)substituted biaryl, (hetero)aryl, Ph, (cyclo)alkyl, (cyclo)alkoxy, alkenyl, alkynyl, OH, acyl(oxy), carbamoyl, amino, thiol, amidino, imino, NO₂, N₃, etc.; L1 and L2 = covalent bond or (un)substituted alkyl optionally interrupted by O, S, or N; r = covalent bond, CH, CH₂, CHR₁, CR₁R₂, or H; t = CH, CH₂, CHR₃, CR₃R₄, or H; s = CHR₅, CR₅R₆, or absent; R = H, (un)substituted alkyl, arylalkyl, or heteroalkyl, and may optionally be linked to A, B, L1, or L2; R₁-R₆ = independently (un)substituted alkyl, halo, thiol, thioether, thioalkyl, alkoxy, and may be optionally linked to each other to form addnl. ring moieties, e.g., quinoxalinyll; or pharmaceutically acceptable salts thereof] were prepd. as melanocortin-4 receptor binding (MC4-R) compds. For example, stirring a soln. of .alpha.-tolunitrile with diisopropylamine and BuLi in hexanes at -78.degree. under nitrogen for 1

h. followed by addn. of HMPA and 1-chloromethylnaphthalene in THF, afforded 2-(2-naphthalen-1-ylethyl)benzonitrile. Heating the benzonitrile with 1,3-diaminopropane in the presence of H₂S at 80.degree. for 72 h gave the tetrahydropyrimidinyl cycloaddn. product II. The latter exhibited exemplary inhibition of MC4-R in a scintillation proximity assay. I are useful for the treatment of disorders assocd. with pigmentation, bones, or wt. loss (no data).

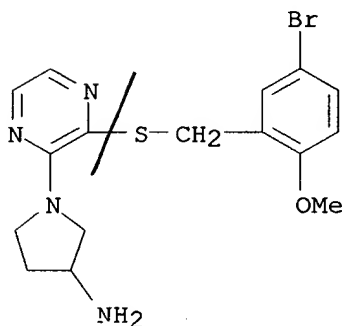
IT **325825-60-3P**, 1-[3-(5-Bromo-2-methoxybenzylsulfanyl)pyrazin-2-yl]pyrrolidin-3-ylamine **325825-83-0P**, 1-[3-(5-Bromo-2-methoxybenzylsulfanyl)quinoxalin-2-yl]pyrrolidin-3-ylamine **326482-53-5P** **326482-54-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(MC4-R binding compd.; prepn. of aryl-substituted tetrahydropyrimidines and related compds. as melanocortin-4 receptor binding compds. for treatment of pigmentation, bone, and wt. loss disorders)

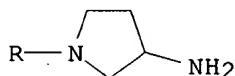
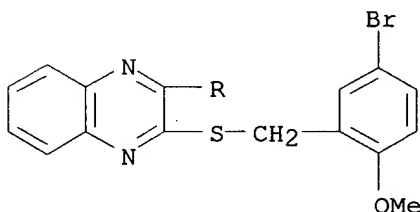
RN 325825-60-3 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-[[5-bromo-2-methoxyphenyl)methyl]thio]pyrazinyl]-(9CI) (CA INDEX NAME)



RN 325825-83-0 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-[[5-bromo-2-methoxyphenyl)methyl]thio]-2-quinoxalinyl]-(9CI) (CA INDEX NAME)

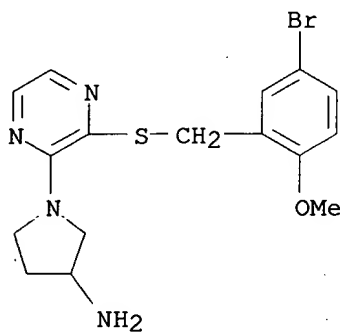


RN 326482-53-5 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-[[5-bromo-2-methoxyphenyl)methyl]thio]pyrazinyl]-(9CI) (CA INDEX NAME)

09/559,881

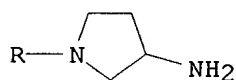
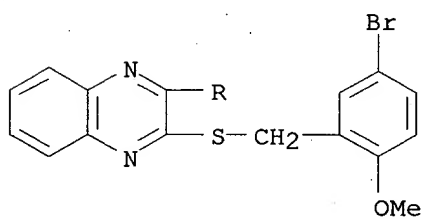
, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 326482-54-6 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-[[(5-bromo-2-methoxyphenyl)methyl]thio]-2-quinoxaliny]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

~~LA~~ ANSWER 7 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2002:556109 CAPLUS

~~DN~~ 137:109451

TI Preparation of adenosine analogs having antihypertensive, cardioprotective, anti-ischemic, and antilipolytic properties

IN Myers, Michael R.; Maguire, Martin P.; Spada, Alfred P.; Ewing, William R.; Pauls, Henry W.; Choi-Sledeski, Yong Mi

PA USA

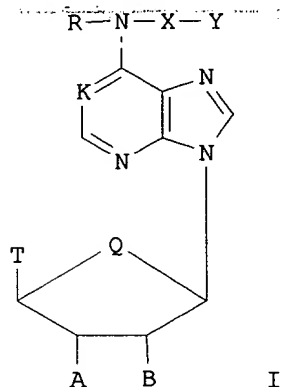
SO U.S. Pat. Appl. Publ., 29 pp., Cont.-in-part of Appl. No. PCT/US97/11320. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | US 2002099030 | A1 | 20020725 | US 2002-104133 | 20020322 |
| | US 6559313 | B2 | 20030506 | | |
| | WO 9801426 | A1 | 19980115 | WO 1997-US11320 | 19970701 |
| | W: | AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| PRAI | US 1996-21366P | P | 19960708 | | |
| | WO 1997-US11320 | A2 | 19970701 | | |
| OS | MARPAT 137:109451 | | | | |
| GI | | | | | |



AB Adenosine derivs. and analogs I (K = N, NO, CH; Q = CH₂, O; R = H, alkyl, allyl, 2-methylallyl, 2-butenyl, cycloalkyl; X = N-contg. heterocycle; Y = H, alkyl, aralkyl, aryl, heterocycle, heterocycloalkyl; T = H, alkyl, acyl, thioacyl, halo, carboxyl, alkoxymethyl; A, B = independently H, alkyl, hydroxyalkyl, OH) were prepd. as anti-hypertensive, cardioprotective, anti-ischemic, and antilipolytic agents, and for treating hyperlipidemia and hypercholesterolemia. Thus,

(2R,3R,4S,5R)-2-hydroxymethyl-5-[6-[(1-5-chloropyridin-2-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl]-tetrahydrofuran-3,4-diol was prepd. and tested for its biol. activity (no data).

IT **202267-58-1P**

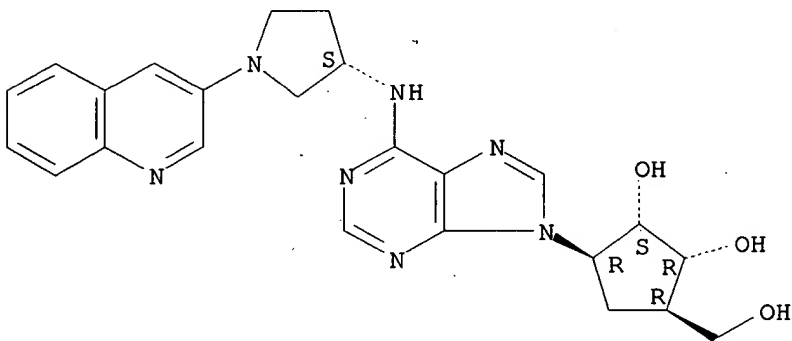
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of adenosine nucleosides as antihypertensives, cardioprotectives, anti-ischemics and anti-lipolytics)

RN 202267-58-1 CAPLUS

CN 1,2-Cyclopentanediol, 3-(hydroxymethyl)-5-[6-[[(3S)-1-(3-quinolinyl)-3-pyrrolidinyl]amino]-9H-purin-9-yl]-, (1S,2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



09/559,881

IN ANSWER 8 OF 22 CAPLUS COPYRIGHT 2003 ACS

IN 2002:185092 CAPLUS

DN 136:247598

TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors

IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithri; Seely, Lynn; Wagman, Allan S.; Desai, Manoj; Levine, Barry H.

PA Chiron Corporation, USA

SO PCT Int. Appl., 268 pp.

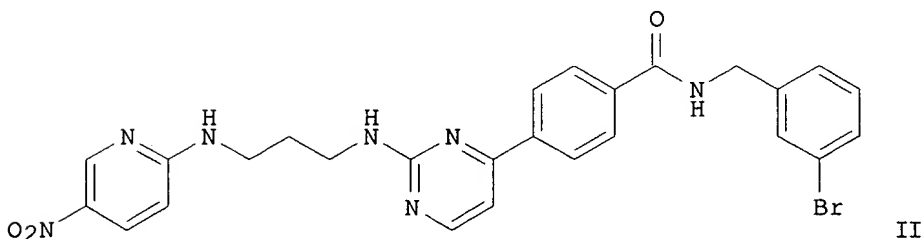
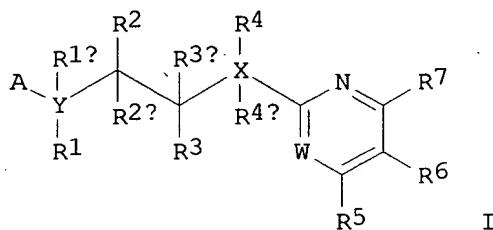
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | WO 2002020495 | A2 | 20020314 | WO 2001-US42081 | 20010906 |
| | WO 2002020495 | A3 | 20020620 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | AU 2001095026 | A5 | 20020322 | AU 2001-95026 | 20010906 |
| PRAI | US 2000-230480P | P | 20000906 | | |
| | WO 2001-US42081 | W | 20010906 | | |
| OS | MARPAT 136:247598 | | | | |
| GI | | | | | |



AB Title compds. I [wherein W = (un)substituted C or N; X and Y = independently N, O, or (un)substituted C; A = (un)substituted

(hetero)aryl; R1, R1a, R2, R2a, R3, R3a, R4, and R4a = independently H, OH, alkoxy, acyl, (hetero)aryl, or (un)substituted (cyclo)alkyl, amino(alkyl), etc. ; R5 and R7 = independently H, halo, alkoxy, guanidiny, (bi)aryl, hetero(bi)aryl, heterocycloalkyl, arylsulfonamido, or (un)substituted (cyclo)alkyl, amino(alkoxy), or amidino; R6 = H, halo, carboxyl, NO₂, (cyclo)amido, (cyclo)amidino, (cyclo)imido, CN, alkoxy, acyl(oxy), guanidiny, (hetero)aryl, heterocyclo(alkyl), arylsulfonyl, arylsulfonamido, or (un)substituted alkyl, amino, etc.] were prepd. as glycogen synthase kinase 3 (GSK3) inhibitors. For example, 2-chloro-5-nitropyridine was aminated by H₂N(CH₂)₃NH₂ and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine. The latter was cyclocondensed with resin-bound 4-(MeCO)C₆H₄CONHCH₂C₆H₄Br-3 and Cs₂CO₃ to afford, after resin cleavage, the pyrimidinamine II. The most preferred compds. of the invention exhibited inhibitory activity against human GSK3.β. in a cell free assay with IC₅₀ values of < 1 .μM. Thus, I and compns. contg. I may be employed alone or in combination with other pharmacol. active agents in the treatment of disorders mediated by GSK3 activity, such as diabetes, Alzheimer's disease and other neurodegenerative disorders, obesity, atherosclerotic cardiovascular disease, essential hypertension, polycystic ovary syndrome, syndrome X, ischemia, traumatic brain injury, bipolar disorder, immunodeficiency, or cancer (no data).

IT 252917-04-7P, 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)-

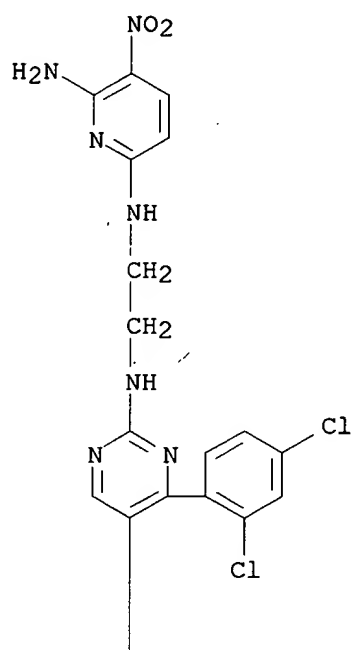
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

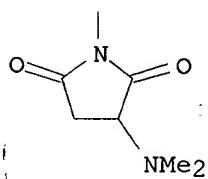
RN 252917-04-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



~~19~~ ANSWER 9 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2001:808803 CAPLUS

~~DN~~ 136:232174

TI Synthesis of N-alkyl/aryl/heteroaryl-4-[4'-(4"-chlorophenoxy)-3'-chloroanilino]succinimides as antimicrobial and antifungal agents

AU Lokhande, Tushar N.; Nadkarni, Bharati A.; Khadse, Barsu G.

CS Department of Chemistry, Haffkine Institute for Training, Research and Testing, Mumbai, 400 012, India

SO Indian Journal of Heterocyclic Chemistry (2001), 11(1), 83-84
CODEN: IJCHEI; ISSN: 0971-1627

PB Prof. R. S. Varma

DT Journal

LA English

AB A series of N-alkyl/aryl and heteroaryl-4-[4'-(4"-chlorophenoxy)-3'-chloroanilino]succinimides have been prepd. and screened for antimicrobial and antifungal activity in vitro.

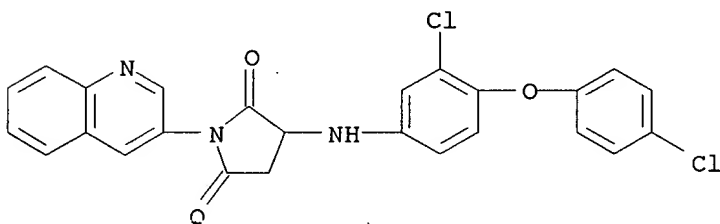
IT 402922-16-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of [(chlorophenoxy)chloroanilino]succinimides as antimicrobial and antifungal agents)

RN 402922-16-1 CAPLUS

CN 2,5-Pyrrolidinedione, 3-[[3-chloro-4-(4-chlorophenoxy)phenyl]amino]-1-(3-quinoliny)- (9CI) (CA INDEX NAME)



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LS~~ ANSWER 10 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2001:551300 CAPLUS

~~DN~~ 135:266642

~~TI~~ Design and Biological Activity of (S)-4-(5-([1-(3-Chlorobenzyl)-2-oxopyrrolidin-3-ylamino]methyl)imidazol-1-ylmethyl)benzonitrile, a 3-Aminopyrrolidinone Farnesyltransferase Inhibitor with Excellent Cell Potency

~~AU~~ Bell, Ian M.; Gallicchio, Steven N.; Abrams, Marc; Beshore, Douglas C.; Buser, Carolyn A.; Culberson, J. Christopher; Davide, Joseph; Ellis-Hutchings, Michelle; Fernandes, Christine; Gibbs, Jackson B.; Graham, Samuel L.; Hartman, George D.; Heimbrook, David C.; Homnick, Carl F.; Huff, Joel R.; Kassahun, Kelem; Koblan, Kenneth S.; Kohl, Nancy E.; Lobell, Robert B.; Lynch, Joseph J.; Miller, Patricia A.; Omer, Charles A.; Rodrigues, A. David; Walsh, Eileen S.; Williams, Theresa M.

~~CS~~ Departments of Medicinal Chemistry Cancer Research Molecular Systems Drug Metabolism and Pharmacology, Merck Research Laboratories, West Point, PA, 19486, USA

~~SO~~ Journal of Medicinal Chemistry (2001), 44(18), 2933-2949

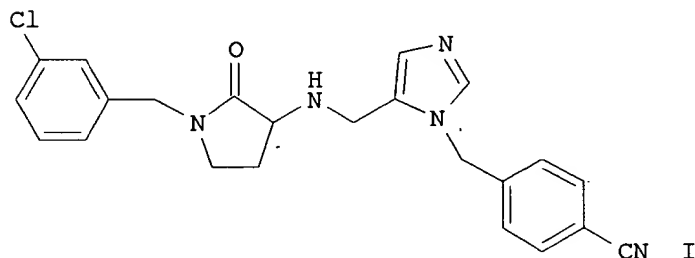
~~CODEN: JMCMAR; ISSN: 0022-2623~~

~~PB~~ American Chemical Society

~~DT~~ Journal

~~LA~~ English

~~GI~~



~~AB~~ The synthesis, structure-activity relationships, and biol. properties of a novel series of imidazole-contg. inhibitors of farnesyltransferase are described. Starting from a 3-aminopyrrolidinone core, a systematic series of modifications provided a non-thiol, non-peptide farnesyltransferase inhibitor (I) with excellent bioavailability in dogs. I was found to have an unusually favorable ratio of cell potency to intrinsic potency, compared with other known FTIs. It exhibited excellent potency against a range of tumor cell lines in vitro and showed full efficacy in the K-rasB transgenic mouse model.

~~IT~~ **362690-80-0P**

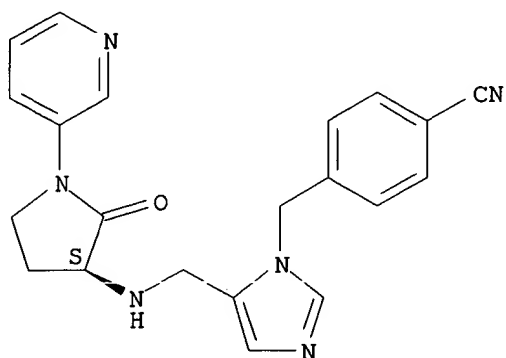
~~RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)~~

~~(prepn. and structure-activity relations of aminopyrrolidinones as farnesyltransferase inhibitors with excellent antitumor potency)~~

~~RN~~ 362690-80-0 CAPLUS

~~CN~~ Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/559,881

~~L9~~ ANSWER 11 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AM~~ 2001:185748 CAPLUS

~~DN~~ 134:237476

TI 4-[[5-[[[(Pyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitriles and analogs, useful as inhibitors of prenyl-protein transferase

IN Bell, Ian M.; Gallicchio, Steven N.; Beshore, Douglas C.; Lumma, William C., Jr.; Sisko, John T.; Zartman, C. Blair

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 324 pp.

CODEN: PIXXD2

DT Patent

LA English

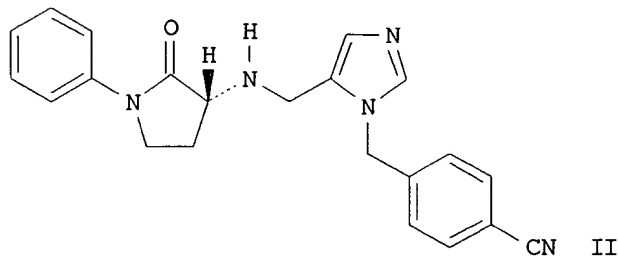
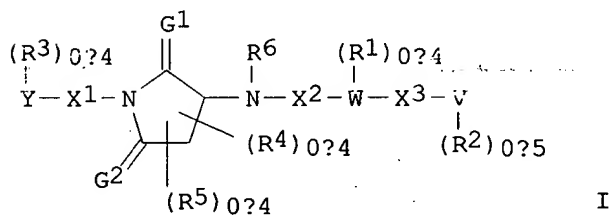
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|--|----------|-----------------|----------|
| PI | WO 2001017992 | A1 | 20010315 | WO 2000-US24542 | 20000907 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |

PRAI US 1999-152989P P 19990909

OS MARPAT 134:237476

GI

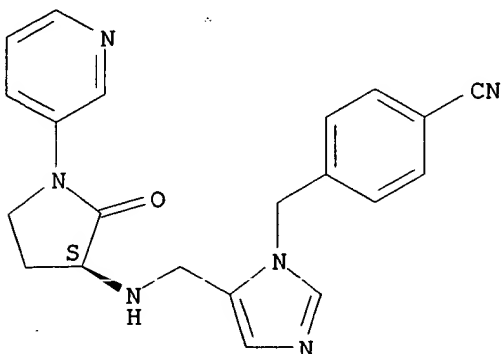


AB The invention is directed to compds. which inhibit prenyl-protein transferase and the prenylation of the oncogene protein Ras. More specifically, the invention discloses compds. which are inhibitors of

farnesyl-protein transferase (FPTase) and geranylgeranyl-protein transferase (GGTase), and which are useful in the treatment of proliferative diseases such as cancer. In particular, compds. I are claimed [wherein: X1 = (un)substituted (CH₂)₀₋₆A1(CH₂)₀₋₆A2; X2 = (un)substituted (CH₂)₀₋₆A3(CH₂)₀₋₆; X3 = (un)substituted (CH₂)₀₋₆A4(CH₂)₀₋₆; A1, A3, A4 = bond, CO, CH:CH, C.tplbond.C, O, S(O)₀₋₂, (un)substituted NH, NHCO, CONH, OCONH, NHCOO, COO, OCO; A2 = bond, CO, (un)substituted NHCO, S(O)₀₋₂, OCO; R1-R6 = H, various substituents; G1, G2 = O, H₂; V = H, heterocyclyl, aryl, (hetero)alkyl, alkenyl (provided V .noteq. H when A4 = S(O)₀₋₂ and q = 0); W = heterocyclyl; Y = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl]. The invention is further directed to chemotherapeutic compns. contg. I, and methods for inhibiting prenyl-protein transferase and the prenylation of the oncogene protein Ras. Approx. 175 synthetic examples are given. For instance, invention compd. II (1.4 HCl salt) was prepd. by a multi-step synthesis culminating in the reductive coupling of (R)-3-amino-2-oxo-1-phenylpyrrolidine with 1-(4-cyanobenzyl)-5-imidazolecarboxaldehyde using NaBH₃CN and AcOH in MeOH. I had IC₅₀ values .ltoreq. 10 .mu.M for inhibition of human FPTase in vitro.

- IT **330184-90-2P**, (S)-4-[[5-[[[(2-Oxo-1-pyridin-3-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile hydrochloride
330184-91-3P, (S)-4-[[5-[[[(2-Oxo-1-pyrazin-2-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile **330184-92-4P**, (S)-4-[[5-[[[(2-Oxo-1-pyrazin-2-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile trifluoroacetate **330186-44-2P**, (R)-4-[[5-[[[(2-Oxo-1-pyridin-3-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile **330186-45-3P**, (S)-4-[[5-[[[(2-Oxo-1-pyridin-3-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile **330186-46-4P**, (R)-4-[[5-[[[(2-Oxo-1-pyrazin-2-ylpyrrolidin-3-yl)amino]methyl]imidazol-1-yl]methyl]benzonitrile
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of [[[(pyrrolidinyl)amino]methyl]imidazolyl]methyl]benzonitriles and analogs as inhibitors of prenyl-protein transferase)
 RN **330184-90-2** CAPLUS
 CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]-, trihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

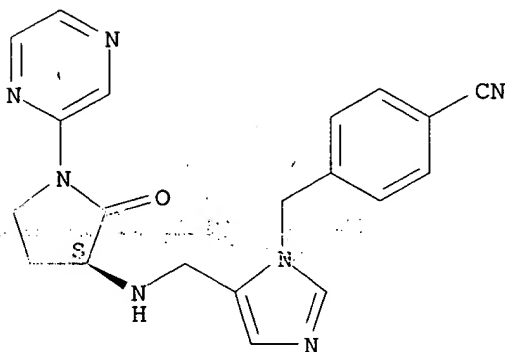


●3 HCl

RN 330184-91-3 CAPLUS

CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-pyrazinyl-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 330184-92-4 CAPLUS

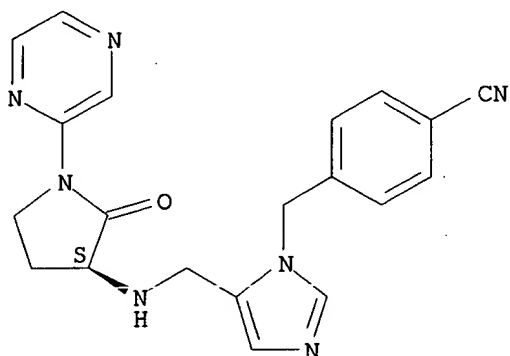
CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-pyrazinyl-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 330184-91-3

CMF C20 H19 N7 O

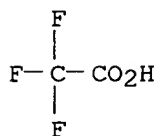
Absolute stereochemistry.



CM 2

CRN 76-05-1

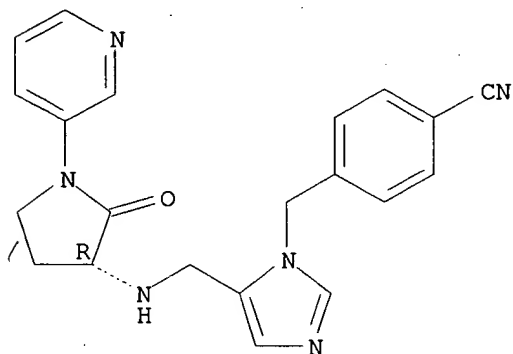
CMF C2 H F3 O2



RN 330186-44-2 CAPLUS

CN Benzonitrile, 4-[[5-[[[(3R)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

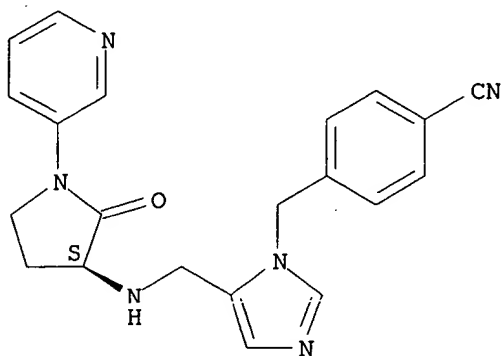
Absolute stereochemistry.



RN 330186-45-3 CAPLUS

CN Benzonitrile, 4-[[5-[[[(3S)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

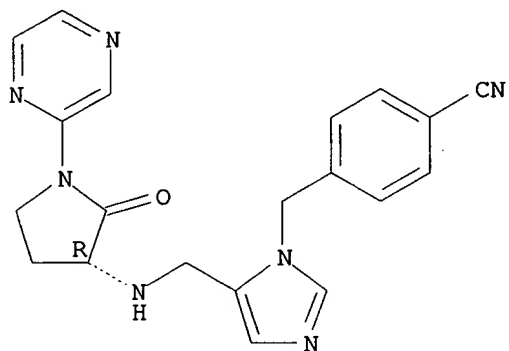
Absolute stereochemistry.



RN 330186-46-4, CAPLUS

CN Benzonitrile, 4-[[5-[[[(3R)-2-oxo-1-pyrazinyl-3-pyrrolidinyl]amino]methyl]-1H-imidazol-1-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 22 CAPLUS COPYRIGHT 2003 ACS

2001:115125 CAPLUS

134:178566

Preparation of melanocortin-4 receptor binding compounds

Maguire, Martin P.; Dai, Mingshi; Vos, Tricia J.

Millennium Pharmaceuticals, Inc., USA

PCT Int. Appl., 215 pp.

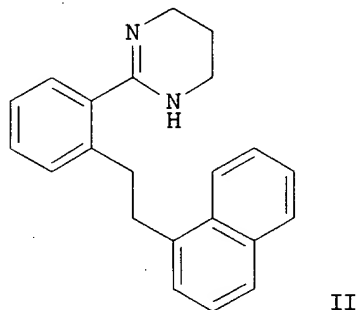
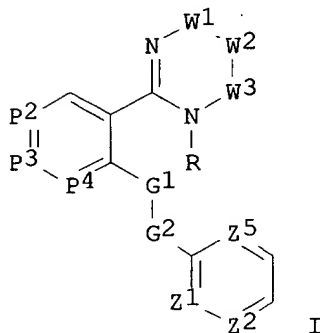
CODEN: PIXXD2

Patent

English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | WO 2001010842 | A2 | 20010215 | WO 2000-US21327 | 20000804 |
| | WO 2001010842 | A3 | 20010816 | | |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | EP 1204645 | A2 | 20020515 | EP 2000-953837 | 20000804 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | |
| | BR 2000012984 | A | 20020716 | BR 2000-12984 | 20000804 |
| PRAI | US 1999-147288P | P | 19990804 | | |
| | US 2000-223277P | P | 20000803 | | |
| | WO 2000-US21327 | W | 20000804 | | |
| OS | MARPAT 134:178566 | | | | |
| GI | | | | | |



AB The title compds. of formula B-Z-E [wherein B = an anchor moiety; Z = a central moiety; E = an MC4-R interacting moiety], e.g. I [wherein P2, P3, and P4 = independently CH, CF, CCl, CBr, C(alkyl), C(alkoxy), C(CN), C(OH), or CI; W1 = covalent bond or CH2; W2 = CH2, CHR3, or CR3R4; W3 = CH2, CHR5, or CR5R6; R = H or alkyl; Z1 = CH or covalently linked to Z2 to form a naphthyl ring; Z2 = CH, C(C.tplbond.CH), CCl, CBr, CI, CF, or

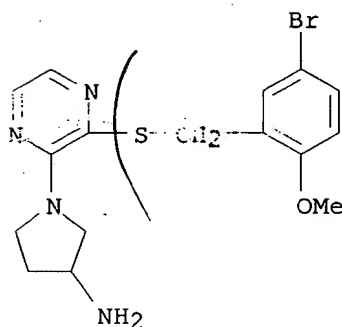
covalently linked to Z1 to form a naphthyl ring; Z5 = OH or C(OMe); R3-R6 = independently Me or Et], were prepd. and tested as melanocortin-4 receptor (MC4-R) binding agonists and antagonists. For example, .alpha.-tolunitrile in THF was added to a soln. of diisopropylamine in THF, which had been cooled to -78.degree.C and treated with BuLi. HMPA and 1-chloromethylnaphthalene in THF were added, the reaction cooled and stirred for 1 h, and the reaction quenched with H2O to give 2-(2-naphthalen-1-ylethyl)benzonitrile. Treatment with H2S and 1,3-diaminopropane, followed by heating to 80.degree.C for 72 h and work up, gave II. In a scintillation proximity assay (SPA) using high-throughput receptor binding screening, II showed exemplary inhibition of MC4-R. The invention compds., primarily 2-(2-arylalkylsulfanylphenyl)-4,5-dihydro-1H-imidazole and 1,4,5,6-tetrahydropyrimidine derivs., are useful in the treatment of disorders assocd. with wt. loss and pigmentation (no data).

IT **325825-60-3P**, 1-[3-(5-Bromo-2-methoxybenzylsulfanyl)pyrazin-2-yl]pyrrolidin-3-ylamine **325825-83-0P**, 1-[3-(5-Bromo-2-methoxybenzylsulfanyl)quinoxalin-2-yl]pyrrolidin-3-ylamine **326482-53-5P** **326482-54-6P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compd.; prepn. and high throughput MC4-R receptor binding screening of arylalkylsulfanylphenyl-substituted imidazoles and pyrimidines and analogs)

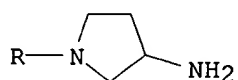
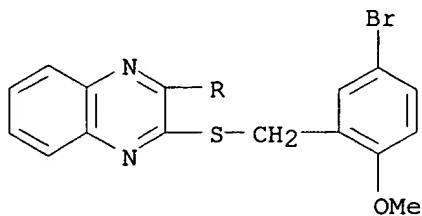
RN 325825-60-3 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-[[5-bromo-2-methoxyphenyl)methyl]thio]pyrazinyl]-(9CI) (CA INDEX NAME)



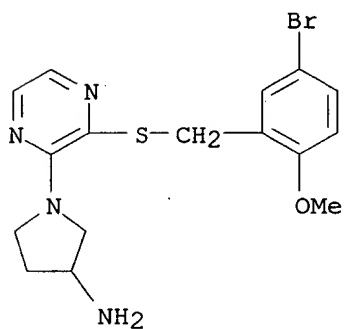
RN 325825-83-0 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-[[5-bromo-2-methoxyphenyl)methyl]thio]-2-quinoxalinyl]-(9CI) (CA INDEX NAME)



RN 326482-53-5 CAPLUS

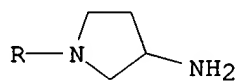
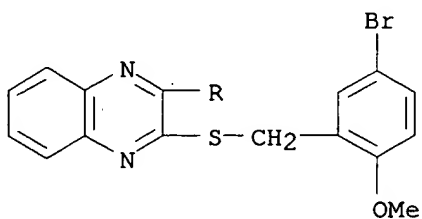
CN 3-Pyrrolidinamine, 1-[3-[[5-bromo-2-methoxyphenyl)methyl]thio]pyrazinyl]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 326482-54-6 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-[[5-bromo-2-methoxyphenyl)methyl]thio]-2-quinoxaliny]-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

ANSWER 13 OF 22 CAPLUS COPYRIGHT 2003 ACS

2000:900625 CAPLUS

134:56689

Preparation of pyrazinyl phenoxyethyl ethers as 5-HT_{2C} receptor modulators

Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias

Pharmacia & Upjohn AB, Swed.

PCT Int. Appl., 151 pp.

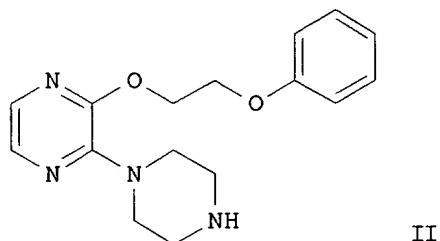
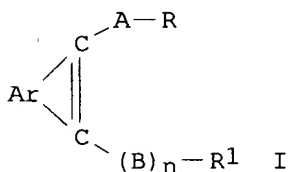
CODEN: PIXXD2

Patent

English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|--|----------|-----------------|----------|
| PI | WO 2000076984 | A2 | 20001221 | WO 2000-SE1017 | 20000519 |
| | WO 2000076984 | A3 | 20010208 | | |
| | W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | EP 1178973 | A2 | 20020213 | EP 2000-931877 | 20000519 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| | BR 2000010783 | A | 20020409 | BR 2000-10783 | 20000519 |
| | JP 2003502317 | T2 | 20030121 | JP 2001-503842 | 20000519 |
| | NO 2001005686 | A | 20020115 | NO 2001-5686 | 20011121 |
| PRAI | SE 1999-1884 | A | 19990521 | | |
| | US 1999-137527P | P | 19990603 | | |
| | WO 2000-SE1017 | W | 20000519 | | |
| OS | MARPAT 134:56689 | | | | |
| GI | | | | | |



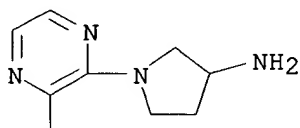
AB The title compds. (I) [wherein Ar = (un)substituted (hetero)aryl; A = O, S, SO₂, NH, alkyl- or acyl-substituted N, or (un)satd., (un)substituted (hetero)alkylene chain which may contain a bridge to form a ring; B = CR₄R₅, OCR₄R₅, NR₆CR₄R₅, NR₆O, S, or SO₂; R = (un)substituted cycloalkyl or (hetero)aryl; R₁ = (un)satd. (amino)azacyclic or satd. (amino)diazacyclic, (amino)azabicyclic, or diazabicyclic ring, or

(CR4R5)xNR2aR3a; n = 0-1; R2a and R3a = independently H, Me, or Et, or taken together with the N to which they are bound form a pyrrolidine, piperazine, or morpholine ring; R4, R5, and R6 = independently H or alkyl; x = 2-4] and their pharmaceutically acceptable salts were prepd. and tested as 5-HT_{2C} receptor modulators. Examples include 235 syntheses, a tablet formulation, and pharmacol. tests. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K₂CO₃ in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In an affinity assay using membranes prepd. from a transfected HEK293 cell line stably expressing the 5-HT_{2C} receptor protein, I typically exhibited 5HT_{2C} receptor affinity values (K₁) ranging from 1 nM to 1500 nM. Specific values ranging from 5 nM to 377 nM were reported for 12 compds. Agonist efficacy at the 5-HT_{2C} receptor for I were detd. by the ability of the compds. to mobilize intracellular Ca in transfected HEK293 cells, and typical max. responses of the agonists were in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related disorders, such as eating disorders, esp. obesity, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

IT 313654-42-1P, 2-(Phenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether 313654-43-2P, 2-(2-Chlorophenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether 313654-45-4P, 2-(4-Chlorophenoxy)ethyl 3-(3-amino-1-pyrrolidinyl)-2-pyrazinyl ether
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of heterocyclylpyrazinyl phenoxyethoxy ether 5-HT_{2C} receptor modulators by coupling of phenoxyethanols with 2,3-dichloropyrazine followed by addn. of heterocycles)

RN 313654-42-1 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-(2-phenoxyethoxy)pyrazinyl]- (9CI) (CA INDEX NAME)

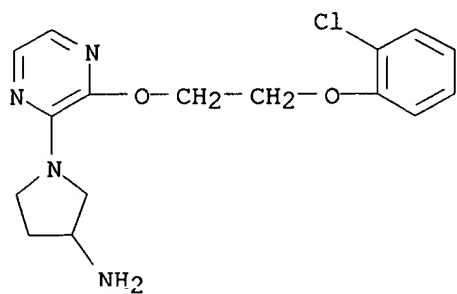


PhO-CH₂-CH₂-O

RN 313654-43-2 CAPLUS

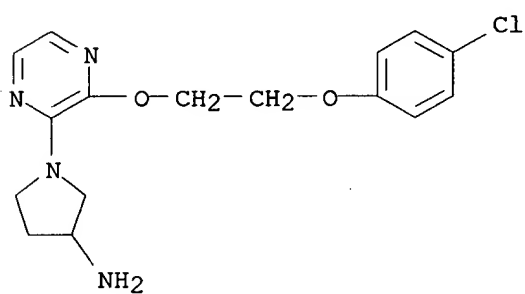
CN 3-Pyrrolidinamine, 1-[3-[2-(2-chlorophenoxy)ethoxy]pyrazinyl]- (9CI) (CA INDEX NAME)

09/559,881



RN 313654-45-4 CAPLUS

CN 3-Pyrrolidinamine, 1-[3-[2-(4-chlorophenoxy)ethoxy]pyrazinyl]- (9CI) (CA
INDEX NAME)



L9 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 2000:842126 CAPLUS

DN 134:17404

TI Preparation of heterocyclic substituted aminoazacycles useful as central nervous system agents

IN Schrimpf, Michael R.; Sippy, Kevin B.; Daanen, Jerome F.; Ryther, Keith B.; Ji, Jianguo

PA Abbott Laboratories, USA

SO PCT Int. Appl., 116 pp.

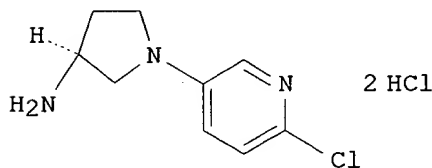
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|--|----------|-----------------|----------|
| PI | WO 2000071534 | A1 | 20001130 | WO 2000-US13339 | 20000515 |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | EP 1178982 | A1 | 20020213 | EP 2000-932445 | 20000515 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| | BR 2000007229 | A | 20020910 | BR 2000-7229 | 20000515 |
| | JP 2003500402 | T2 | 20030107 | JP 2000-619791 | 20000515 |
| | NO 2001005669 | A | 20011123 | NO 2001-5669 | 20011120 |
| | BG 106192 | A | 20020830 | BG 2001-106192 | 20011207 |
| PRAI | US 1999-316707 | A | 19990521 | | |
| | US 2000-559881 | A | 20000426 | | |
| | WO 2000-US13339 | W | 20000515 | | |
| OS | MARPAT 134:17404 | | | | |
| GI | | | | | |



AB Title compds. [Z-R₃, wherein Z is a defined aminoazacycle and R₃ is a defined heterocycle moiety] and pharmaceutically acceptable salts are prepd. and pharmaceutical compns. of these compds., useful in controlling synaptic transmission in mammals, are claimed. Thus, the title compd. I was prepd. and tested, in vivo and in vitro, as nicotinic acetylcholine receptor.

IT 309958-66-5P

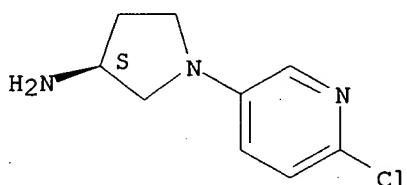
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heterocyclic substituted aminoazacycles useful as central nervous system agents)

RN 309958-66-5 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, dihydrochloride, (3S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

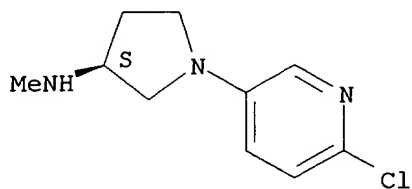
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309958-69-8P 309958-70-1P 309958-72-3P
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309958-76-7P 309958-77-8P 309958-78-9P
309958-79-0P 309958-80-3P 309958-81-4P
309958-82-5P 309958-83-6P 309958-84-7P
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309962-96-7P 309962-97-8P 309962-98-9P
309962-99-0P 309963-00-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic substituted aminoazacycles useful as central nervous system agents)

RN 309958-65-4 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N-methyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

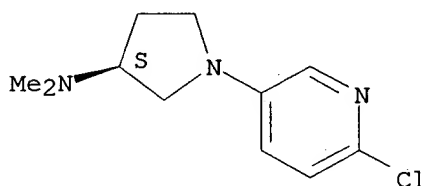


● HCl

RN 309958-67-6 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,N-dimethyl-, (3S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 309958-68-7 CAPLUS

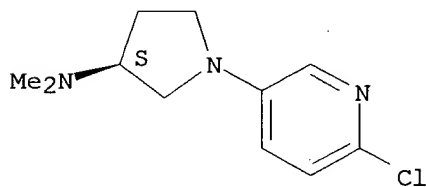
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,N-dimethyl-, (3S)-,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 309958-67-6

CMF C11 H16 Cl N3

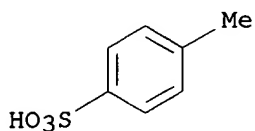
Absolute stereochemistry.



CM 2

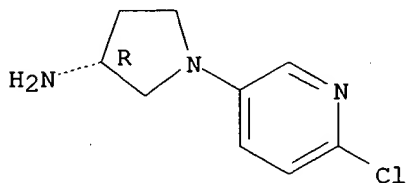
CRN 104-15-4

CMF C7 H8 O3 S



RN 309958-69-8 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

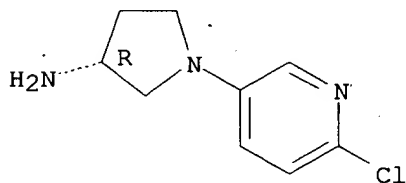


RN 309958-70-1 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, (3R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

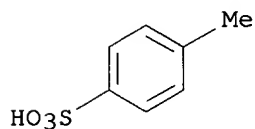
CRN 309958-69-8
 CMF C9 H12 Cl N3

Absolute stereochemistry.



CM 2

CRN 104-15-4
 CMF C7 H8 O3 S

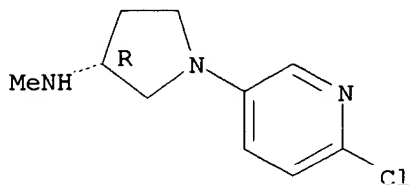


RN 309958-72-3 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N-methyl-, monohydrochloride,

09/559,881

(3R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

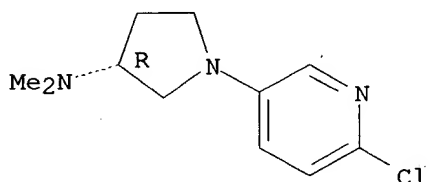


● HCl

RN 309958-73-4 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,N-dimethyl-, (3R) - (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 309958-74-5 CAPLUS

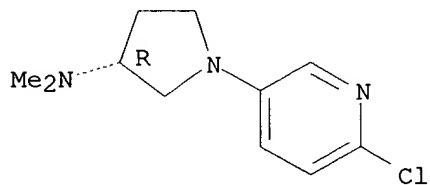
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,N-dimethyl-, (3R)-,
mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 309958-73-4

CMF C11 H16 Cl N3

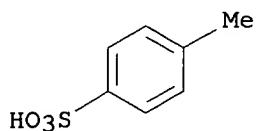
Absolute stereochemistry.



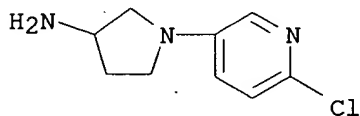
CM 2

CRN 104-15-4

CMF C7 H8 O3 S



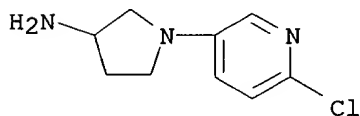
RN 309958-75-6 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 309958-76-7 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

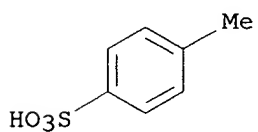
CM 1

CRN 309958-75-6
 CMF C9 H12 Cl N3



CM 2

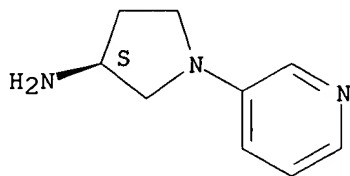
CRN 104-15-4
 CMF C7 H8 O3 S



RN 309958-77-8 CAPLUS
 CN 3-Pyrrolidinamine, 1-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/559,881



RN 309958-78-9 CAPLUS

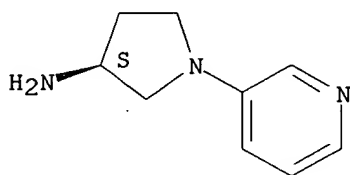
CN 3-Pyrrolidinamine, 1-(3-pyridinyl)-, (3S)-, mono(4-methylbenzenesulfonate)
(9CI) (CA INDEX NAME)

CM 1

CRN 309958-77-8

CMF C9 H13 N3

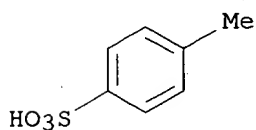
Absolute stereochemistry.



CM 2

CRN 104-15-4

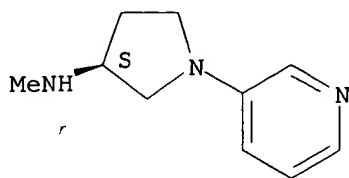
CMF C7 H8 O3 S



RN 309958-79-0 CAPLUS

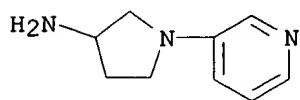
CN 3-Pyrrolidinamine, N-methyl-1-(3-pyridinyl)-, dihydrochloride, (3S)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



● 2 HCl

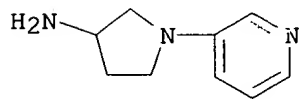
RN 309958-80-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 309958-81-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(3-pyridinyl)-, bis(4-methylbenzenesulfonate) (9CI)
(CA INDEX NAME)

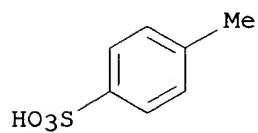
CM 1

CRN 309958-80-3
CMF C9 H13 N3



CM 2

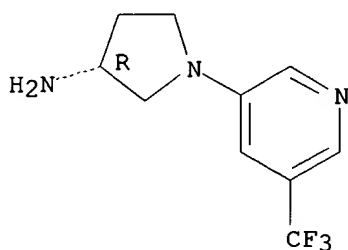
CRN 104-15-4
CMF C7 H8 O3 S



RN 309958-82-5 CAPLUS
CN 3-Pyrrolidinamine, 1-[5-(trifluoromethyl)-3-pyridinyl]-, dihydrochloride,
(3R)- (9CI) (CA INDEX NAME)

09/559,881

Absolute stereochemistry.

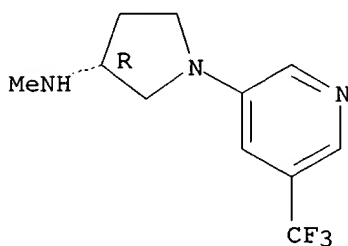


● 2 HCl

RN 309958-83-6 CAPLUS

CN 3-Pyrrolidinamine, N-methyl-1-[5-(trifluoromethyl)-3-pyridinyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

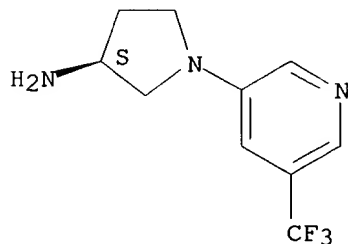


● HCl

RN 309958-84-7 CAPLUS

CN 3-Pyrrolidinamine, 1-[5-(trifluoromethyl)-3-pyridinyl]-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



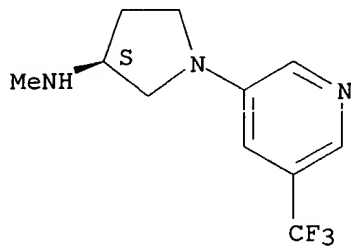
2 HCl

09/559,881

RN 309958-85-8 CAPLUS

CN 3-Pyrrolidinamine, N-methyl-1-[5-(trifluoromethyl)-3-pyridinyl]-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

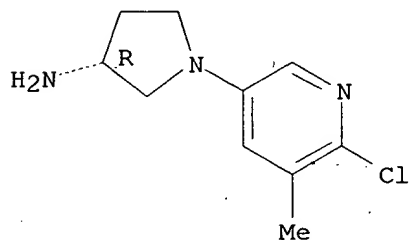


● HCl

RN 309958-86-9 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

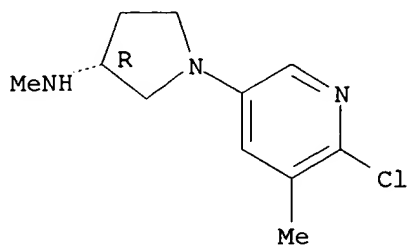


● HCl

RN 309958-87-0 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-N-methyl-, dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

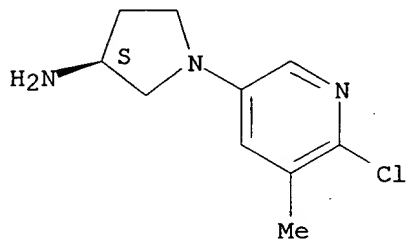


● 2 HCl

RN 309958-88-1 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

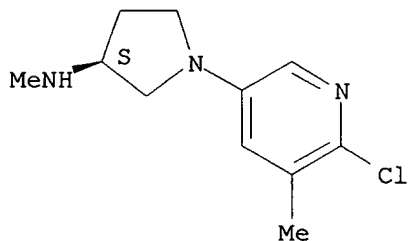


● 2 HCl

RN 309958-89-2 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-N-methyl-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



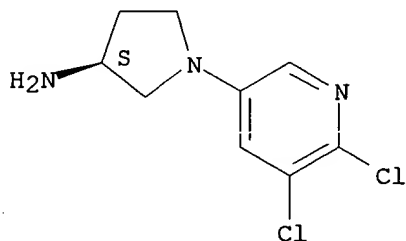
2 HCl

09/559,881

RN 309958-90-5 CAPLUS

CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-, monohydrochloride, (3S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

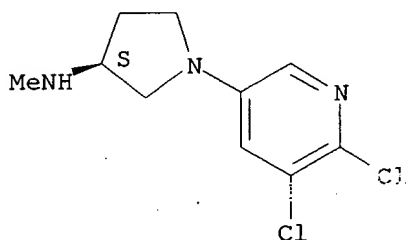


● HCl

RN 309958-91-6 CAPLUS

CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-N-methyl-,
monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

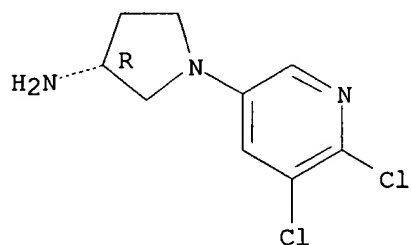


● HCl

RN 309958-93-8 CAPLUS

CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-, monohydrochloride, (3R)-
(9CI) (CA INDEX NAME)

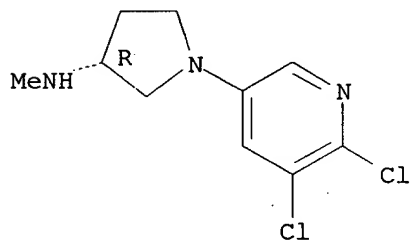
Absolute stereochemistry.



● HCl

RN 309958-94-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-N-methyl-,
monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

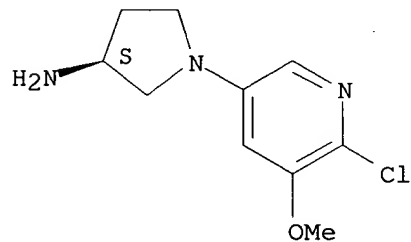
Absolute stereochemistry.



● HCl

RN 309958-95-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-, dihydrochloride,
(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



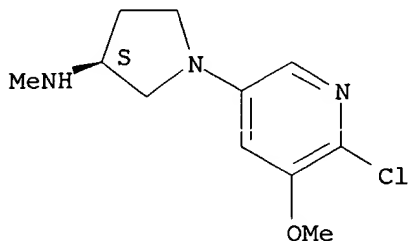
2 HCl

09/559,881

RN 309958-96-1 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-N-methyl-,
dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

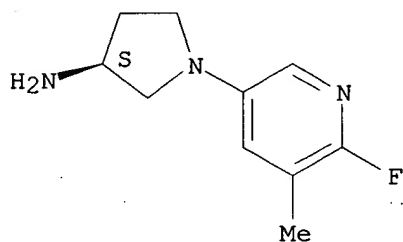


● 2 HCl

RN 309958-97-2 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-, monohydrochloride,
(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

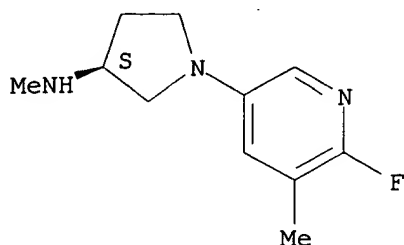


● HCl

RN 309958-98-3 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-N-methyl-,
dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

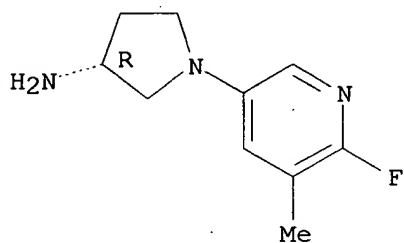
Absolute stereochemistry.



●2 HCl

RN 309958-99-4 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-, monohydrochloride,
(3R)- (9CI) (CA INDEX NAME)

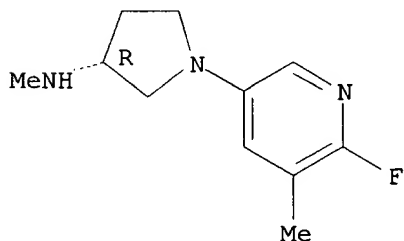
Absolute stereochemistry.



● HCl

RN 309959-00-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-N-methyl-,
dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



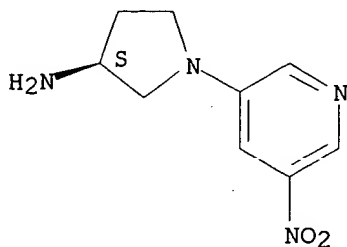
2 HCl

09/559,881

RN 309959-01-1 CAPLUS

CN 3-Pyrrolidinamine, 1-(5-nitro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309959-02-2 CAPLUS

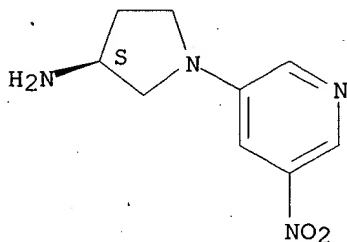
CN 3-Pyrrolidinamine, 1-(5-nitro-3-pyridinyl)-, (3S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 309959-01-1

CMF C9 H12 N4 O2

Absolute stereochemistry.

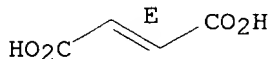


CM 2

CRN 110-17-8

CMF C4 H4 O4

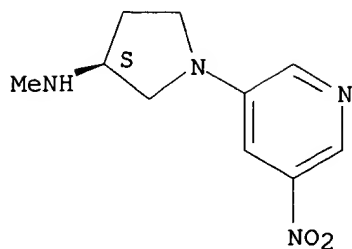
Double bond geometry as shown.



RN 309959-03-3 CAPLUS

CN 3-Pyrrolidinamine, N-methyl-1-(5-nitro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

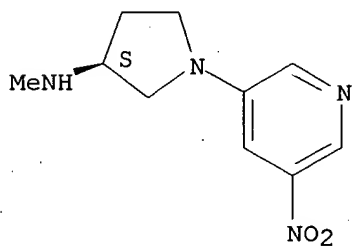


RN 309959-04-4 CAPLUS
 CN 3-Pyrrolidinamine, N-methyl-1-(5-nitro-3-pyridinyl)-, (3S)-,
 (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 309959-03-3
 CMF C10 H14 N4 O2

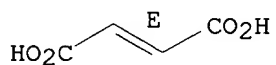
Absolute stereochemistry.



CM 2

CRN 110-17-8
 CMF C4 H4 O4

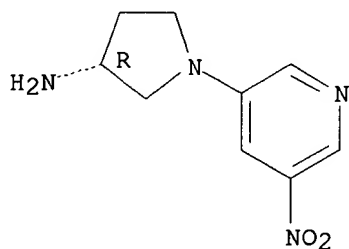
Double bond geometry as shown.



RN 309959-05-5 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-nitro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09/559,881

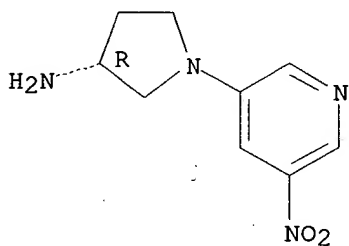


RN 309959-06-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(5-nitro-3-pyridinyl)-, (3R)-, (2E)-2-butenedioate
(2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 309959-05-5
CMF C9 H12 N4 O2

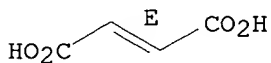
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

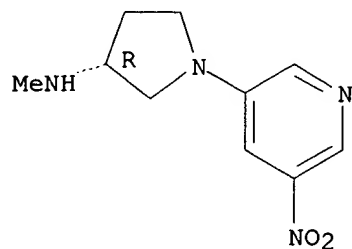
Double bond geometry as shown.



RN 309959-07-7 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(5-nitro-3-pyridinyl)-, (3R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

09/559,881

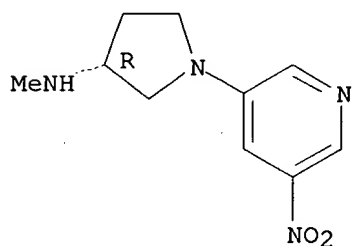


RN 309959-08-8 CAPLUS
CN 3-Pyrrolidinamine, N-methyl-1-(5-nitro-3-pyridinyl)-, (3R)-,
(2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 309959-07-7
CMF C10 H14 N4 O2

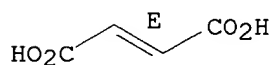
Absolute stereochemistry.



CM 2

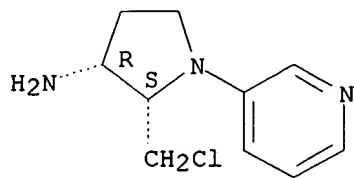
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 309959-32-8 CAPLUS
CN 3-Pyrrolidinamine, 2-(chloromethyl)-1-(3-pyridinyl)-, dihydrochloride,
(2S,3R)- (9CI) (CA INDEX NAME)

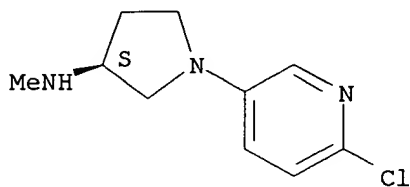
Absolute stereochemistry.



● 2 HCl

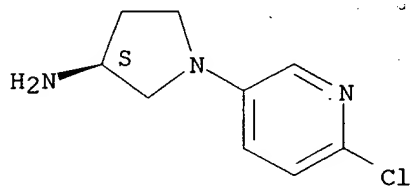
RN 309962-78-5 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



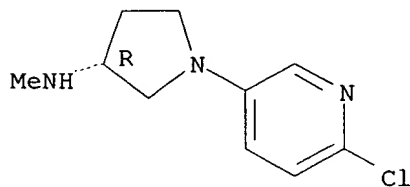
RN 309962-79-6 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309962-80-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

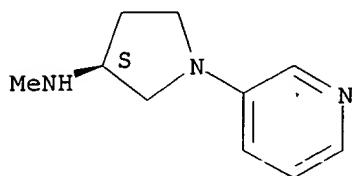


09/559,881

RN 309962-81-0 CAPLUS

CN 3-Pyrrolidinamine, N-methyl-1-(3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

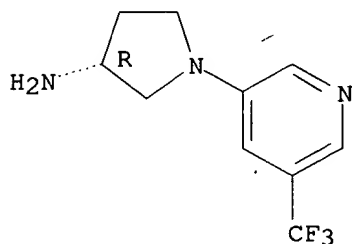
Absolute stereochemistry.



RN 309962-82-1 CAPLUS

CN 3-Pyrrolidinamine, 1-[5-(trifluoromethyl)-3-pyridinyl]-, (3R)- (9CI) (CA INDEX NAME)

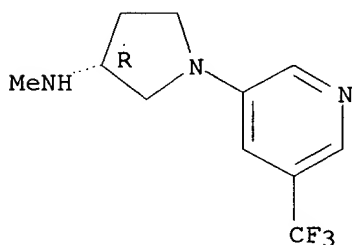
Absolute stereochemistry.



RN 309962-83-2 CAPLUS

CN 3-Pyrrolidinamine, N-methyl-1-[5-(trifluoromethyl)-3-pyridinyl]-, (3R)- (9CI) (CA INDEX NAME)

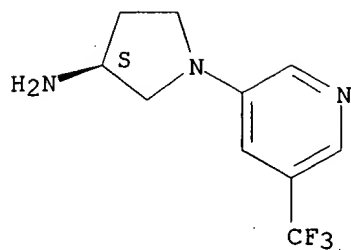
Absolute stereochemistry.



RN 309962-84-3 CAPLUS

CN 3-Pyrrolidinamine, 1-[5-(trifluoromethyl)-3-pyridinyl]-, (3S)- (9CI) (CA INDEX NAME)

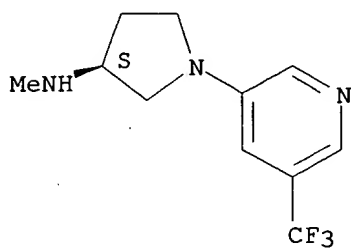
Absolute stereochemistry.



RN 309962-85-4 CAPLUS

CN 3-Pyrrolidinamine, N-methyl-1-[5-(trifluoromethyl)-3-pyridinyl]-, (3S)-
(9CI) (CA INDEX NAME)

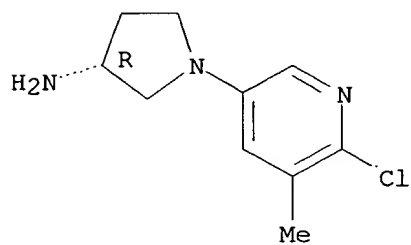
Absolute stereochemistry.



RN 309962-86-5 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-, (3R)- (9CI) (CA
INDEX NAME)

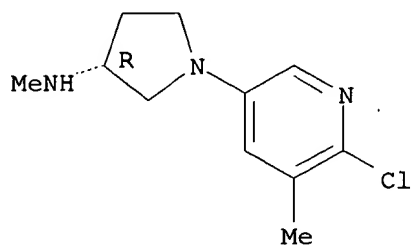
Absolute stereochemistry.



RN 309962-87-6 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-N-methyl-, (3R)-
(9CI) (CA INDEX NAME)

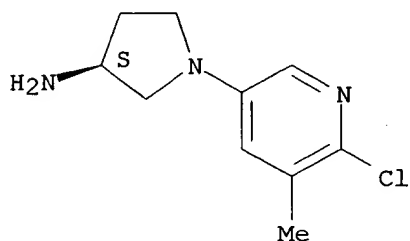
Absolute stereochemistry.



RN 309962-88-7 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

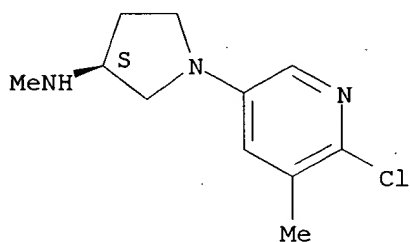
Absolute stereochemistry.



RN 309962-89-8 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methyl-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

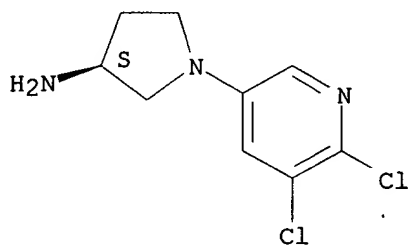
Absolute stereochemistry.



RN 309962-90-1 CAPLUS

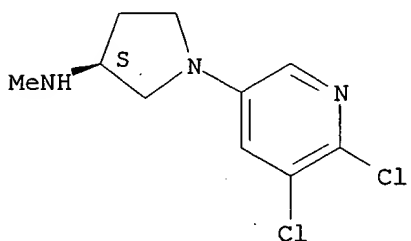
CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



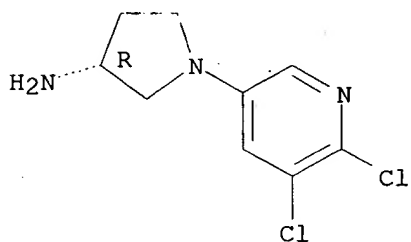
RN 309962-91-2 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-N-methyl-, (3S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



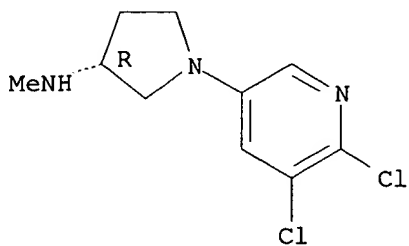
RN 309962-92-3 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



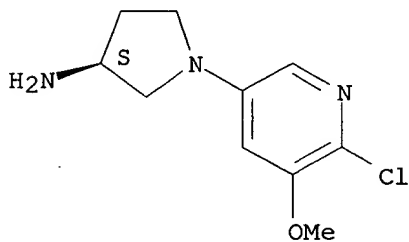
RN 309962-93-4 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5,6-dichloro-3-pyridinyl)-N-methyl-, (3R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



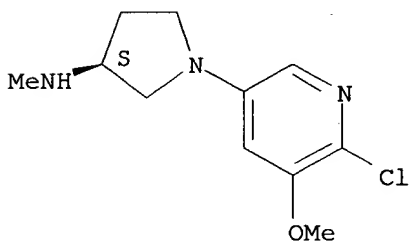
RN 309962-94-5 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



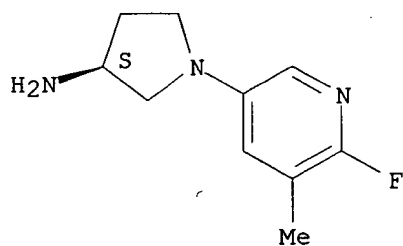
RN 309962-95-6 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



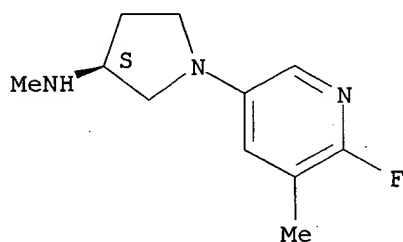
RN 309962-96-7 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



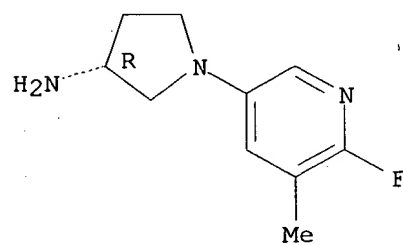
RN 309962-97-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-N-methyl-, (3S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



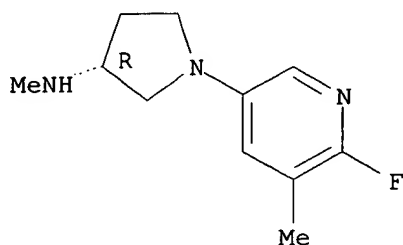
RN 309962-98-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-, (3R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.



RN 309962-99-0 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-fluoro-5-methyl-3-pyridinyl)-N-methyl-, (3R)-
(9CI) (CA INDEX NAME)

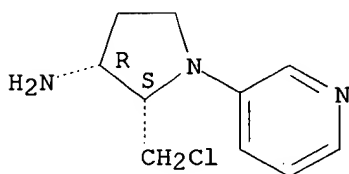
Absolute stereochemistry.



RN 309963-00-6 CAPLUS

CN 3-Pyrrolidinamine, 2-(chloromethyl)-1-(3-pyridinyl)-, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 309959-09-9P 309962-31-0P 309962-32-1P
 309962-33-2P 309962-34-3P 309962-35-4P
 309962-36-5P 309962-37-6P 309962-38-7P
 309962-39-8P 309962-40-1P 309962-41-2P
 309962-42-3P 309962-43-4P 309962-44-5P
 309962-45-6P 309962-46-7P 309962-47-8P
 309962-48-9P 309962-49-0P 309962-50-3P
 309962-51-4P 309962-52-5P 309962-53-6P
 309962-54-7P 309962-55-8P 309962-56-9P
 309962-57-0P

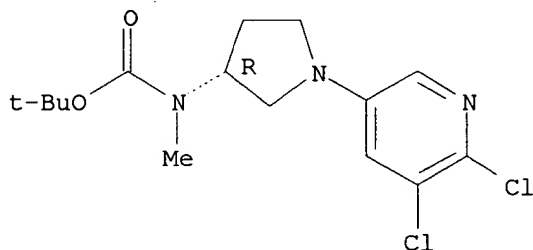
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heterocyclic substituted aminoazacycles useful as central nervous system agents)

RN 309959-09-9 CAPLUS

CN Carbamic acid, [(3R)-1-(5,6-dichloro-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

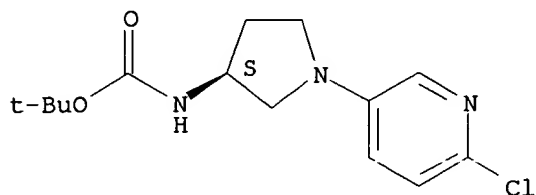


RN 309962-31-0 CAPLUS

09/559,881

CN Carbamic acid, [(3S)-1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

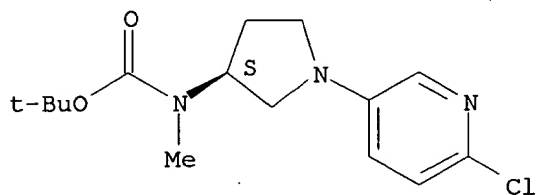
Absolute stereochemistry.



RN 309962-32-1 CAPLUS

CN Carbamic acid, [(3S)-1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

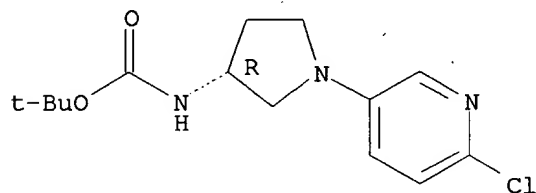
Absolute stereochemistry.



RN 309962-33-2 CAPLUS

CN Carbamic acid, [(3R)-1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

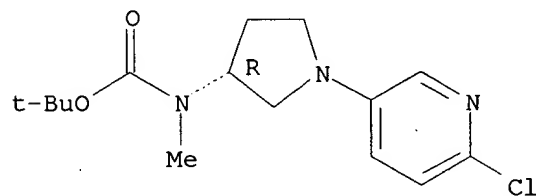
Absolute stereochemistry.



RN 309962-34-3 CAPLUS

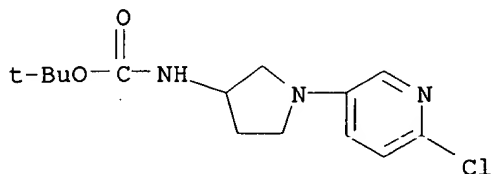
CN Carbamic acid, [(3R)-1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



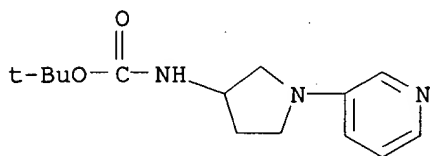
RN 309962-35-4 CAPLUS

CN Carbamic acid, [1-(6-chloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 309962-36-5 CAPLUS

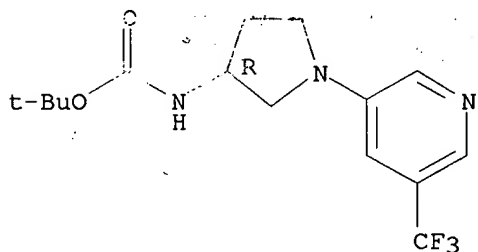
CN Carbamic acid, [1-(3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 309962-37-6 CAPLUS

CN Carbamic acid, [(3R)-1-[5-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

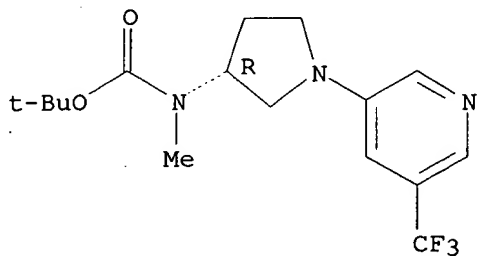
Absolute stereochemistry.



RN 309962-38-7 CAPLUS

CN Carbamic acid, methyl[(3R)-1-[5-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

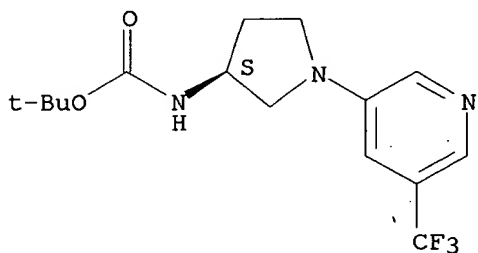
Absolute stereochemistry.



RN 309962-39-8 CAPLUS

CN Carbamic acid, [(3S)-1-[5-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

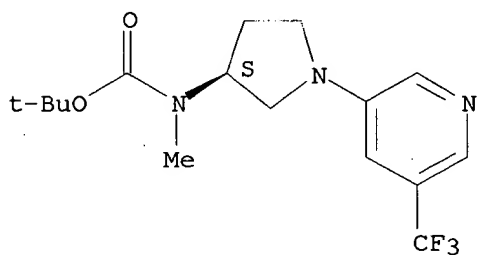
Absolute stereochemistry.



RN 309962-40-1 CAPLUS

CN Carbamic acid, methyl[(3S)-1-[5-(trifluoromethyl)-3-pyridinyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

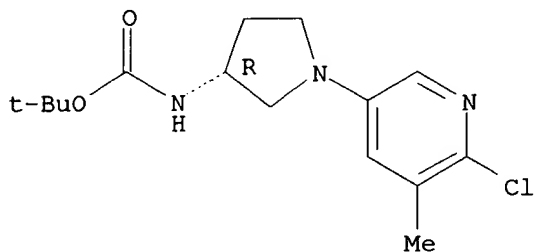
Absolute stereochemistry.



RN 309962-41-2 CAPLUS

CN Carbamic acid, [(3R)-1-(6-chloro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

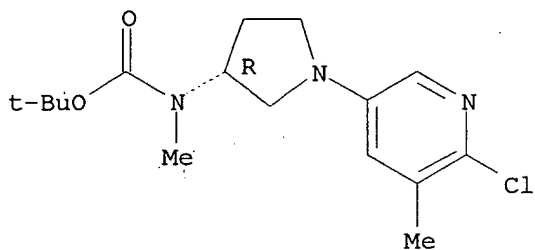
Absolute stereochemistry.



RN 309962-42-3 CAPLUS

CN Carbamic acid, [(3R)-1-(6-chloro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

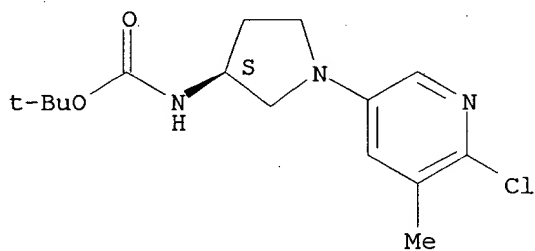
Absolute stereochemistry.



RN 309962-43-4 CAPLUS

CN Carbamic acid, [(3S)-1-(6-chloro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

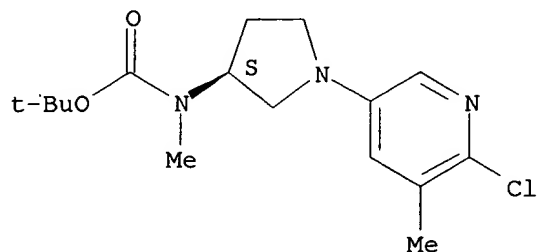
Absolute stereochemistry.



RN 309962-44-5 CAPLUS

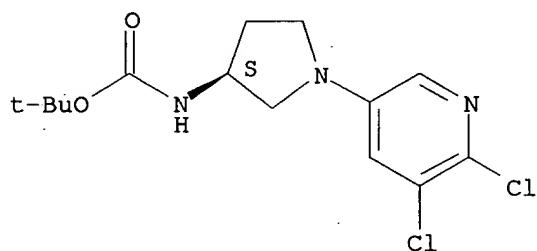
CN Carbamic acid, [(3S)-1-(6-chloro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



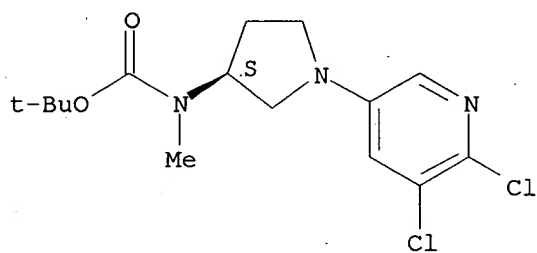
RN 309962-45-6 CAPLUS
 CN Carbamic acid, [(3S)-1-(5,6-dichloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



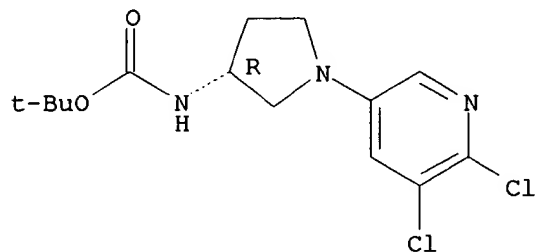
RN 309962-46-7 CAPLUS
 CN Carbamic acid, [(3S)-1-(5,6-dichloro-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



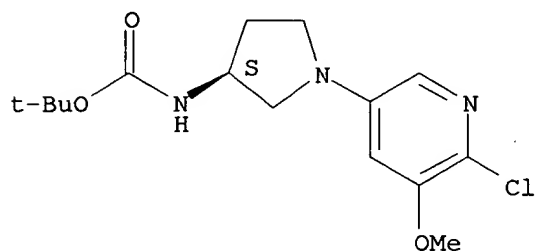
RN 309962-47-8 CAPLUS
 CN Carbamic acid, [(3R)-1-(5,6-dichloro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



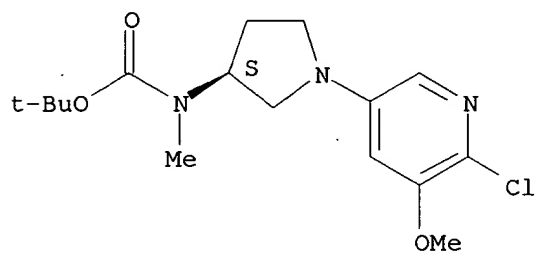
RN 309962-48-9 CAPLUS
 CN Carbamic acid, [(3S)-1-(6-chloro-5-methoxy-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



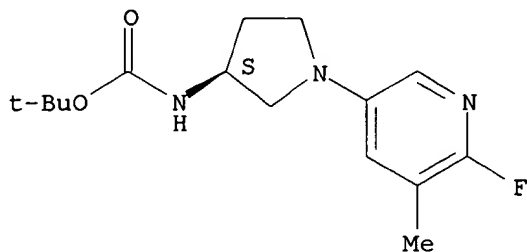
RN 309962-49-0 CAPLUS
 CN Carbamic acid, [(3S)-1-(6-chloro-5-methoxy-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



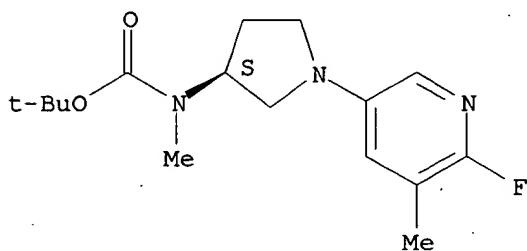
RN 309962-50-3 CAPLUS
 CN Carbamic acid, [(3S)-1-(6-fluoro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



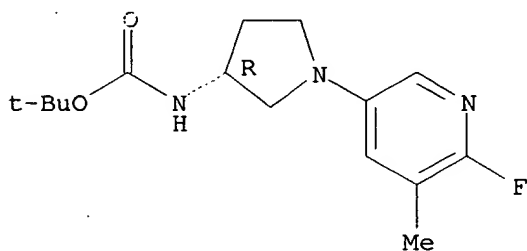
RN 309962-51-4 CAPLUS
 CN Carbamic acid, [(3S)-1-(6-fluoro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



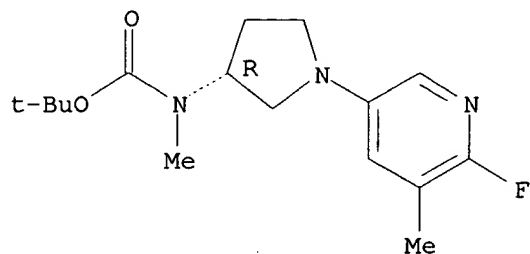
RN 309962-52-5 CAPLUS
 CN Carbamic acid, [(3R)-1-(6-fluoro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309962-53-6 CAPLUS
 CN Carbamic acid, [(3R)-1-(6-fluoro-5-methyl-3-pyridinyl)-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

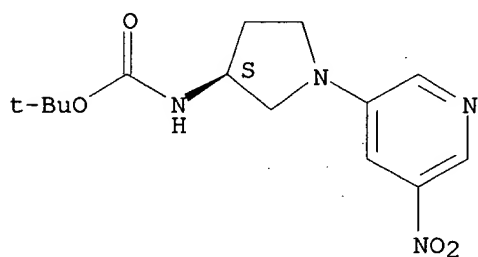
Absolute stereochemistry.



RN 309962-54-7 CAPLUS

CN Carbamic acid, [(3S)-1-(5-nitro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

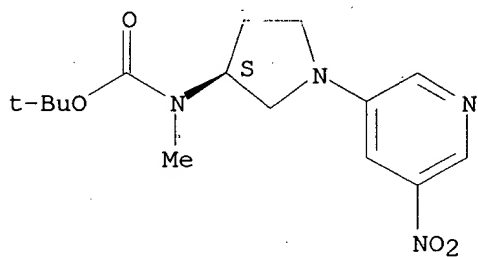
Absolute stereochemistry.



RN 309962-55-8 CAPLUS

CN Carbamic acid, methyl[(3S)-1-(5-nitro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

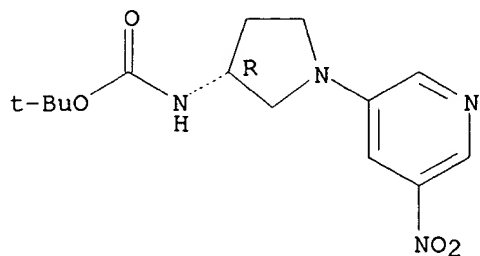
Absolute stereochemistry.



RN 309962-56-9 CAPLUS

CN Carbamic acid, [(3R)-1-(5-nitro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

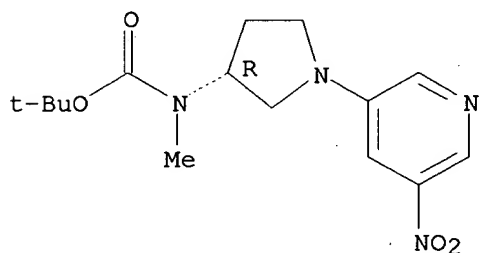
Absolute stereochemistry.



RN 309962-57-0 CAPLUS

CN Carbamic acid, methyl[(3R)-1-(5-nitro-3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 309959-34-0P 309959-43-1P 309959-51-1P

309959-60-2P 309959-66-8P 309959-72-6P

309959-77-1P 309959-85-1P 309959-90-8P

309959-95-3P 309959-99-7P 309960-02-9P

309960-04-1P 309960-06-3P 309960-08-5P

309960-10-9P 309960-12-1P 309960-13-2P

309960-14-3P 309960-15-4P 309960-16-5P

309960-17-6P 309960-18-7P 309960-19-8P

309960-20-1P 309960-21-2P 309960-22-3P

309960-23-4P 309960-24-5P 309960-25-6P

309960-26-7P 309960-27-8P 309960-28-9P

309960-29-0P 309960-30-3P 309960-31-4P

309960-32-5P 309960-33-6P 309960-34-7P

309960-35-8P 309960-36-9P 309960-37-0P

309960-38-1P 309960-39-2P 309960-40-5P

309960-41-6P 309960-42-7P 309960-43-8P

309960-44-9P 309960-45-0P 309960-46-1P

309960-47-2P

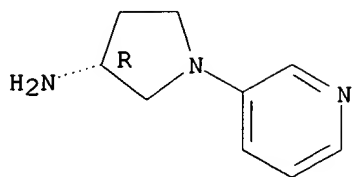
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclic substituted aminoazacycles useful as central nervous system agents)

RN 309959-34-0 CAPLUS

CN 3-Pyrrolidinamine, 1-(3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

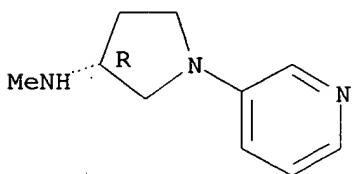
Absolute stereochemistry.



RN 309959-43-1 CAPLUS

CN 3-Pyrrolidinamine, N-methyl-1-(3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

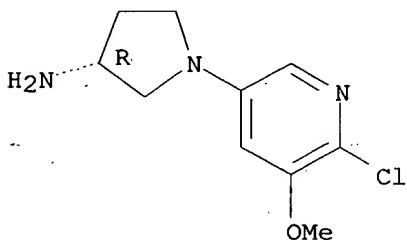
Absolute stereochemistry.



RN 309959-51-1 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

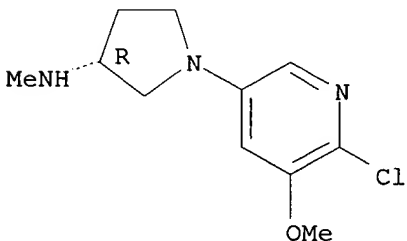
Absolute stereochemistry.



RN 309959-60-2 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-methoxy-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



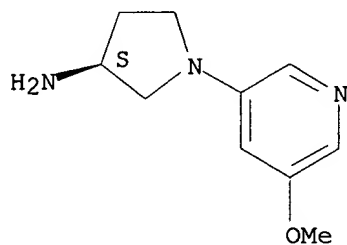
RN 309959-66-8 CAPLUS

CN 3-Pyrrolidinamine, 1-(5-methoxy-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

09/559,881

NAME)

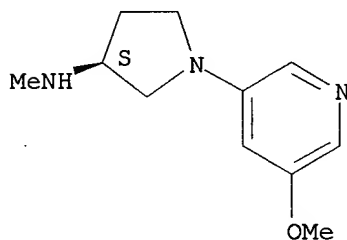
Absolute stereochemistry.



RN 309959-72-6 CAPLUS

CN 3-Pyrrolidinamine, 1-(5-methoxy-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

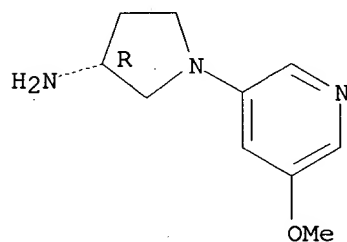
Absolute stereochemistry.



RN 309959-77-1 CAPLUS

CN 3-Pyrrolidinamine, 1-(5-methoxy-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

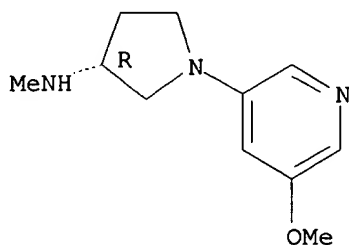
Absolute stereochemistry.



RN 309959-85-1 CAPLUS

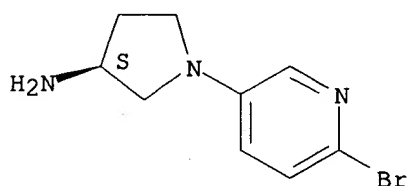
CN 3-Pyrrolidinamine, 1-(5-methoxy-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



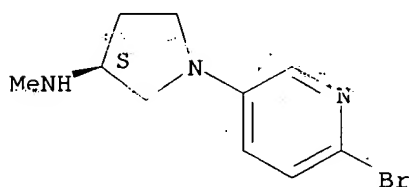
RN 309959-90-8 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



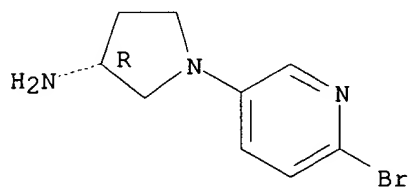
RN 309959-95-3 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



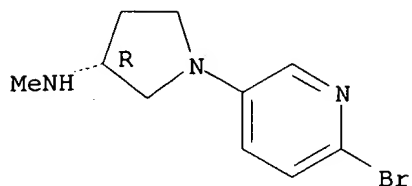
RN 309959-99-7 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309960-02-9 CAPLUS
CN 3-Pyrrolidinamine, 1-(6-bromo-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

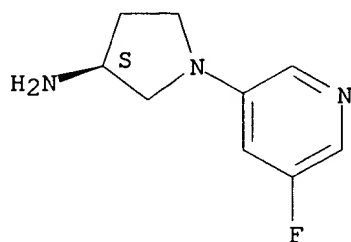
Absolute stereochemistry.



RN 309960-04-1 CAPLUS

CN 3-Pyrrolidinamine, 1-(5-fluoro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

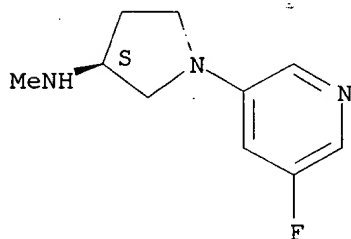
Absolute stereochemistry.



RN 309960-06-3 CAPLUS

CN 3-Pyrrolidinamine, 1-(5-fluoro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

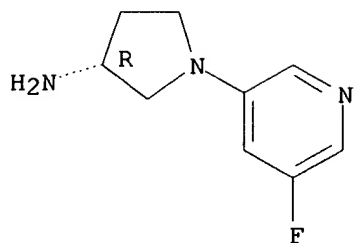
Absolute stereochemistry.



RN 309960-08-5 CAPLUS

CN 3-Pyrrolidinamine, 1-(5-fluoro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

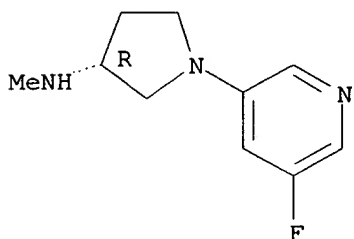
Absolute stereochemistry.



RN 309960-10-9 CAPLUS

CN 3-Pyrrolidinamine, 1-(5-fluoro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

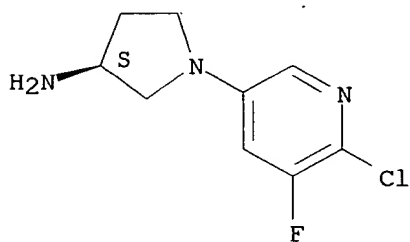
Absolute stereochemistry.



RN 309960-12-1 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-fluoro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

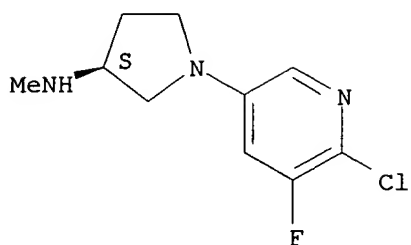
Absolute stereochemistry.



RN 309960-13-2 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-fluoro-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

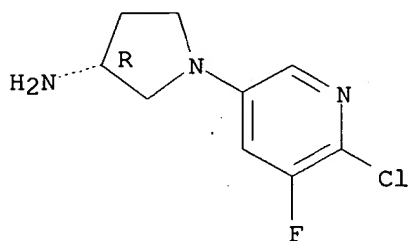
Absolute stereochemistry.



RN 309960-14-3 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-fluoro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

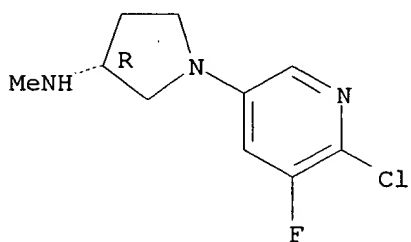
Absolute stereochemistry.



RN 309960-15-4 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-5-fluoro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

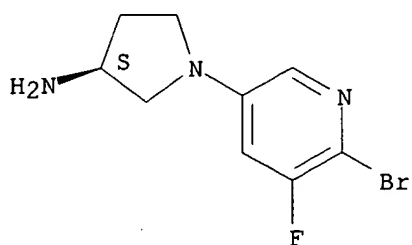
Absolute stereochemistry.



RN 309960-16-5 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-bromo-5-fluoro-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

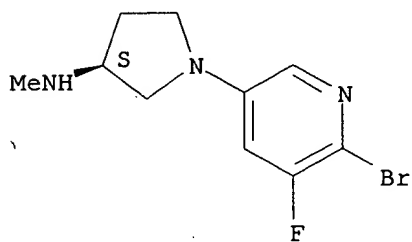
Absolute stereochemistry.



RN 309960-17-6 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-bromo-5-fluoro-3-pyridinyl)-N-methyl-, (3S)- (9CI)
(CA INDEX NAME)

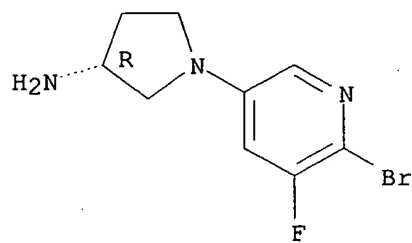
Absolute stereochemistry.



RN 309960-18-7 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-bromo-5-fluoro-3-pyridinyl)-, (3R)- (9CI) (CA
INDEX NAME)

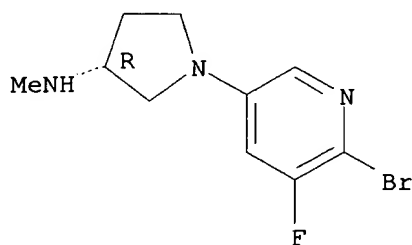
Absolute stereochemistry.



RN 309960-19-8 CAPLUS

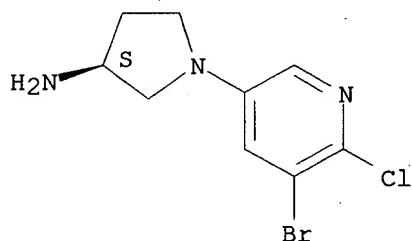
CN 3-Pyrrolidinamine, 1-(6-bromo-5-fluoro-3-pyridinyl)-N-methyl-, (3R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



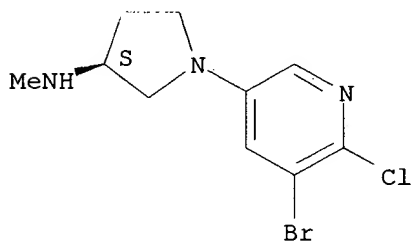
RN 309960-20-1 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-bromo-6-chloro-3-pyridinyl)-, (3S)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



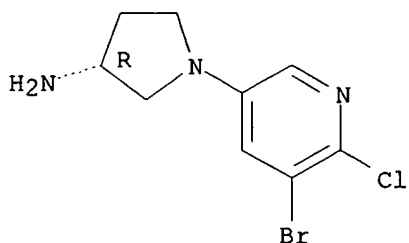
RN 309960-21-2 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-bromo-6-chloro-3-pyridinyl)-N-methyl-, (3S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



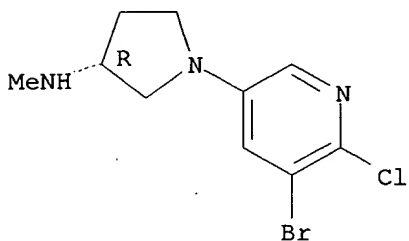
RN 309960-22-3 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-bromo-6-chloro-3-pyridinyl)-, (3R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



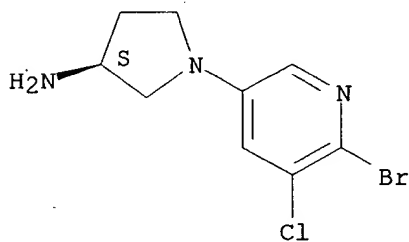
RN 309960-23-4 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-bromo-6-chloro-3-pyridinyl)-N-methyl-, (3R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



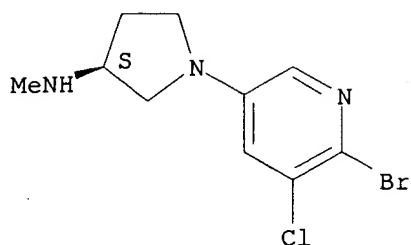
RN 309960-24-5 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-bromo-5-chloro-3-pyridinyl)-, (3S)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



RN 309960-25-6 CAPLUS
 CN 3-Pyrrolidinamine, 1-(6-bromo-5-chloro-3-pyridinyl)-N-methyl-, (3S)- (9CI)
 (CA INDEX NAME)

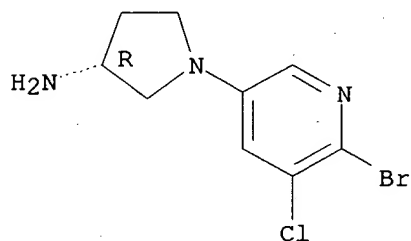
Absolute stereochemistry.



RN 309960-26-7 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-bromo-5-chloro-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

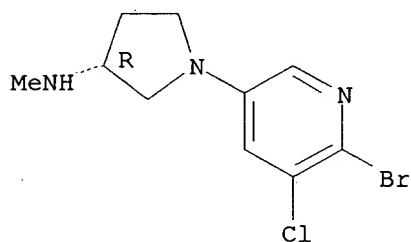
Absolute stereochemistry.



RN 309960-27-8 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-bromo-5-chloro-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

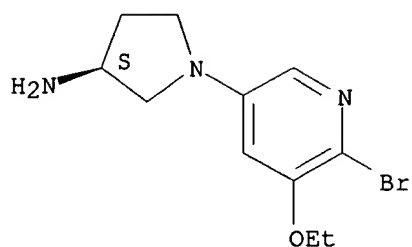
Absolute stereochemistry.



RN 309960-28-9 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-bromo-5-ethoxy-3-pyridinyl)-, (3S)- (9CI) (CA INDEX NAME)

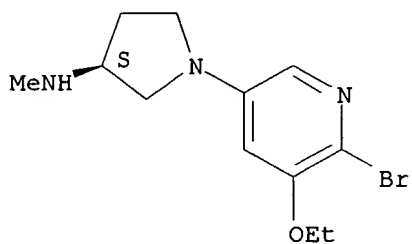
Absolute stereochemistry.



RN 309960-29-0 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-bromo-5-ethoxy-3-pyridinyl)-N-methyl-, (3S)- (9CI)
(CA INDEX NAME)

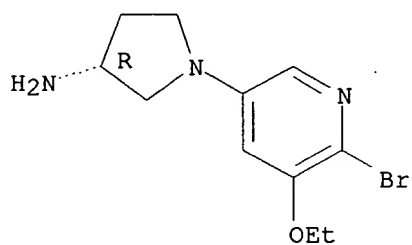
Absolute stereochemistry.



RN 309960-30-3 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-bromo-5-ethoxy-3-pyridinyl)-, (3R)- (9CI) (CA
INDEX NAME)

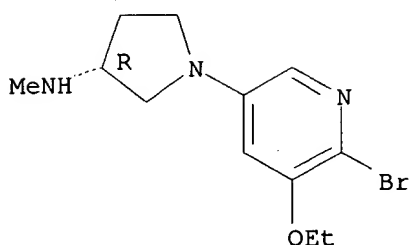
Absolute stereochemistry.



RN 309960-31-4 CAPLUS

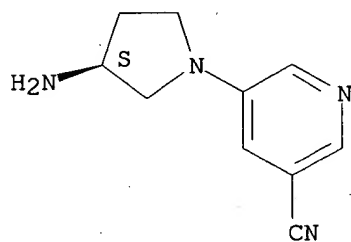
CN 3-Pyrrolidinamine, 1-(6-bromo-5-ethoxy-3-pyridinyl)-N-methyl-, (3R)- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



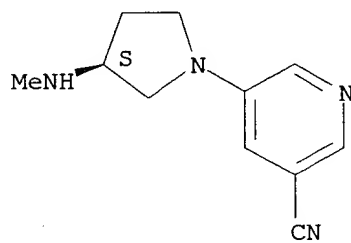
RN 309960-32-5 CAPLUS
 CN 3-Pyridinecarbonitrile, 5-[(3S)-3-amino-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



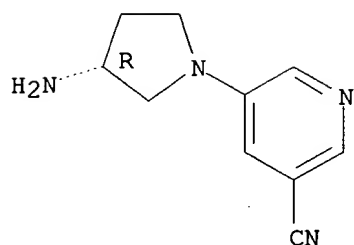
RN 309960-33-6 CAPLUS
 CN 3-Pyridinecarbonitrile, 5-[(3S)-3-(methanimino)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



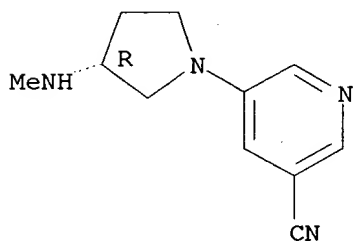
RN 309960-34-7 CAPLUS
 CN 3-Pyridinecarbonitrile, 5-[(3R)-3-amino-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



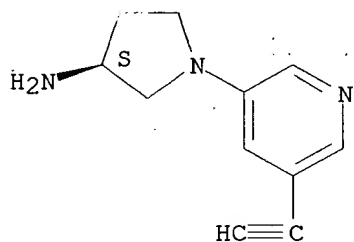
RN 309960-35-8 CAPLUS
 CN 3-Pyridinecarbonitrile, 5-[(3R)-3-(methyldamino)-1-pyrrolidinyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



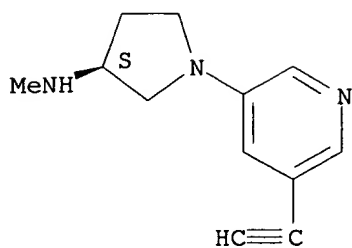
RN 309960-36-9 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-ethynyl-3-pyridinyl)-, (3S)- (9CI) (CA INDEX
 NAME)

Absolute stereochemistry.



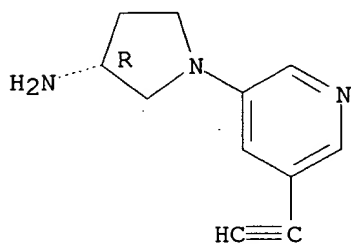
RN 309960-37-0 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-ethynyl-3-pyridinyl)-N-methyl-, (3S)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



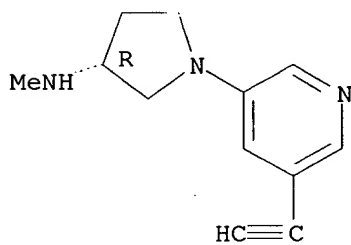
RN 309960-38-1 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-ethynyl-3-pyridinyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



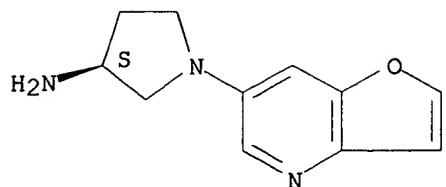
RN 309960-39-2 CAPLUS
 CN 3-Pyrrolidinamine, 1-(5-ethynyl-3-pyridinyl)-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 309960-40-5 CAPLUS
 CN 3-Pyrrolidinamine, 1-furo[3,2-b]pyridin-6-yl-, (3S)- (9CI) (CA INDEX NAME)

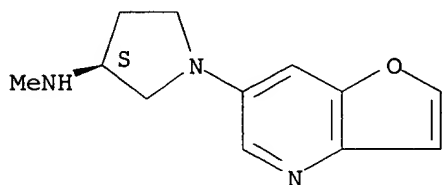
Absolute stereochemistry.



RN 309960-41-6 CAPLUS

CN 3-Pyrrolidinamine, 1-furo[3,2-b]pyridin-6-yl-N-methyl-, (3S)- (9CI) (CA INDEX NAME)

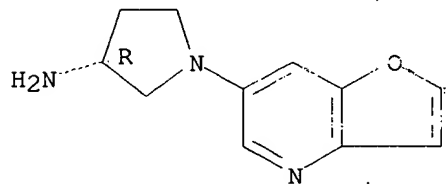
Absolute stereochemistry.



RN 309960-42-7 CAPLUS

CN 3-Pyrrolidinamine, 1-furo[3,2-b]pyridin-6-yl-, (3R)- (9CI) (CA INDEX NAME)

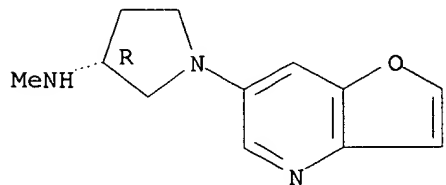
Absolute stereochemistry.



RN 309960-43-8 CAPLUS

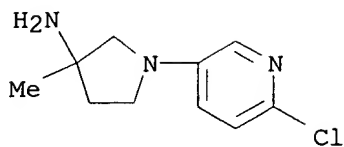
CN 3-Pyrrolidinamine, 1-furo[3,2-b]pyridin-6-yl-N-methyl-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



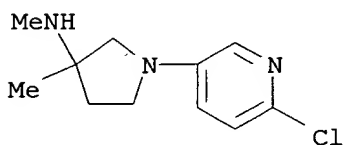
RN 309960-44-9 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-3-methyl- (9CI) (CA INDEX NAME)



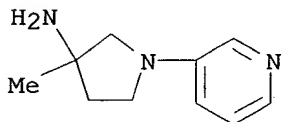
RN 309960-45-0 CAPLUS

CN 3-Pyrrolidinamine, 1-(6-chloro-3-pyridinyl)-N,3-dimethyl- (9CI) (CA INDEX NAME)



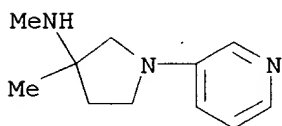
RN 309960-46-1 CAPLUS

CN 3-Pyrrolidinamine, 3-methyl-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 309960-47-2 CAPLUS

CN 3-Pyrrolidinamine, N,3-dimethyl-1-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~L9~~ ANSWER 15 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 2000:277985 CAPLUS

~~DN~~ 132:293976

TI Preparation of adenosine analogues having antihypertensive, cardioprotective, anti-ischemic, and antilipolytic properties

IN Myers, Michael R.; Maguire, Martin P.; Spada, Alfred P.; Ewing, William R.; Pauls, Heinz W.; Choi-Sledeski, Yong Mi

PA Aventis Pharmaceuticals Products Inc., USA

SO PCT Int. Appl., 64 pp.

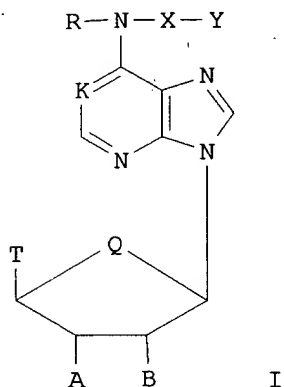
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|-------------------|--|----------|-----------------|----------|
| PI | WO 2000023447 | A1 | 20000427 | WO 1999-US22932 | 19991012 |
| | W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | US 6376472 | B1 | 20020423 | US 1998-174191 | 19981016 |
| | AU 9964107 | A1 | 20000508 | AU 1999-64107 | 19991012 |
| PRAI | US 1998-174191 | A | 19981016 | | |
| | US 1996-21366P | P | 19960708 | | |
| | WO 1997-US11320 | A2 | 19970701 | | |
| | WO 1999-US22932 | W | 19991012 | | |
| OS | MARPAT 132:293976 | | | | |
| GI | | | | | |



AB Adenosine derivs. and analogs I (K = N, NO, CH; Q = CH₂, O; R = H, alkyl, allyl, 2-methylallyl, 2-butenyl, cycloalkyl; X = N-contg. heterocycle; Y = H, alkyl, aralkyl, aryl, heterocycle, heterocycloalkyl; T = H, alkyl, acyl, thioacyl, halo, carboxyl, alkoxymethyl; A, B = independently H,

alkyl, hydroxyalkyl, OH) were prepd. as anti-hypertensive, cardioprotective, anti-ischemic, and antilipolytic agents, and for treating hyperlipidemia and hypercholesterolemia. Thus, (2R,3R,4S,5R)-2-hydroxymethyl-5-[6-[(1-5-chloropyridin-2-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl]-tetrahydrofuran-3,4-diol was prepd. and tested for its biol. activity (no data).

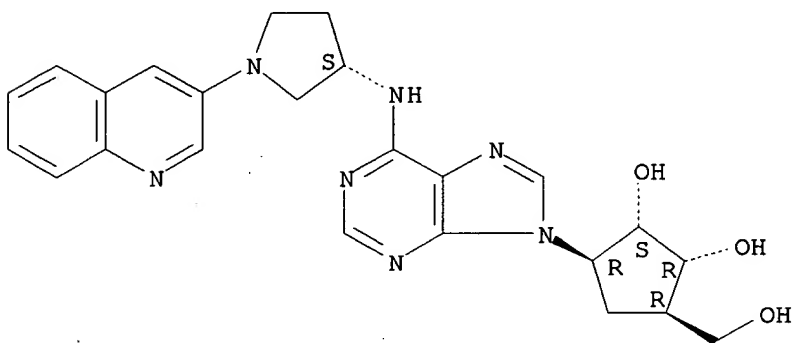
IT 202267-58-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of adenosine nucleosides as antihypertensives, cardioprotectives, anti-ischemics and anti-lipolytics)

RN 202267-58-1 CAPLUS

CN 1,2-Cyclopentanediol, 3-(hydroxymethyl)-5-[6-[[(3S)-1-(3-quinolinyl)-3-pyrrolidinyl]amino]-9H-purin-9-yl]-, (1S,2R,3R,5R)- (9CI) (CA INDEX NAME)

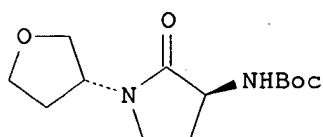
Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/559,881

LN ANSWER 16 OF 22 CAPLUS COPYRIGHT 2003 ACS
AN 2000:158955 CAPLUS
DN 132:293622
TI Efficient synthesis of 1-heterocyclic-3-aminopyrrolidinones
AU Bell, Ian M.; Beshore, Douglas C.; Gallicchio, Steven N.; Williams, Theresa M.
CS Department of Medicinal Chemistry, Merck Research Laboratories, Merck and Co., Inc., West Point, PA, 19486, USA
SO Tetrahedron Letters (2000), 41(8), 1141-1145
CODEN: TELEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 132:293622
GI

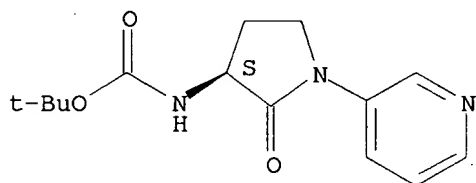


AB A novel two-step synthesis of optically active 3-aminopyrrolidinones, e.g. I, is described. The route allows access to pyrrolidinones with heterocyclic functionality that is incompatible with known methodol., and affords the final products in good to excellent yield and high enantiomeric purity. The Mitsunobu cyclodehydration is shown to be an efficient method for the formation of a variety of .gamma.-lactams.

IT 264277-42-1P 264277-44-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective prepn of aminopyrrolidinones via amidation of aminopyrazones with subsequent Mitsunobu cyclodehydration)

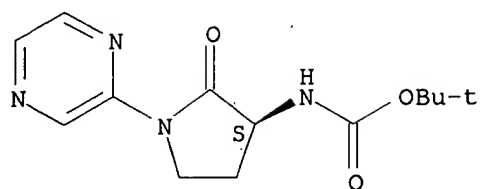
RN 264277-42-1 CAPLUS
CN Carbamic acid, [(3S)-2-oxo-1-(3-pyridinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 264277-44-3 CAPLUS
CN Carbamic acid, [(3S)-2-oxo-1-pyrazinyl-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

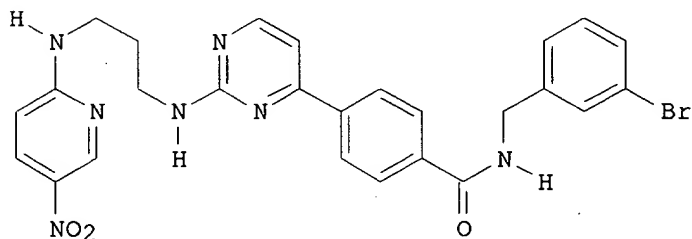
Absolute stereochemistry.



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~LD~~ ANSWER 17 OF 22 CAPLUS COPYRIGHT 2003 ACS
~~AN~~ 1999:811233 CAPLUS
~~DN~~ 132:64265
 TI Preparation of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors
 IN Nuss, John M.; Harrison, Stephen D.; Ring, David B.; Boyce, Rustum S.; Brown, Sean P.; Goff, Dane; Johnson, Kirk; Pfister, Keith B.; Ramurthy, Savithry; Renhowe, Paul A.; Seely, Lynn; Subramanian, Sharadha; Wagman, Allan S.; Zhou, Xiaohui A.
 PA Chiron Corporation, USA
 SO PCT Int. Appl., 262 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|--|----------|-----------------|----------|
| PI | WO 9965897 | A1 | 19991223 | WO 1999-US13809 | 19990618 |
| | W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| | RW: | GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| | AU 9949566 | A1 | 20000105 | AU 1999-49566 | 19990618 |
| | EP 1087963 | A1 | 20010404 | EP 1999-933522 | 19990618 |
| | R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| | US 6489344 | B1 | 20021203 | US 1999-336098 | 19990618 |
| PRAI | US 1998-89978P | P | 19980619 | | |
| | WO 1999-US13809 | W | 19990618 | | |
| OS | MARPAT 132:64265 | | | | |
| GI | | | | | |



II

AB RZCR2R12CR3R13Z1R5 [I; R = (un)substituted (hetero)aryl; Z = O, NR1, CR1R11; Z1 = O, NR4, CR4R14; R1-R4 = H, OH, NH2, alkyl, alkoxy, etc.; R5 = (un)substituted 2-pyridyl or -pyrimidyl; R11-R14 = H or alkyl] were prepd. Thus, 2-chloro-5-nitropyridine was aminated by H2N(CH2)3NH2 and the product N-acylated by benzotriazolecarboxamidinium tosylate to give the alkylguanidine which was cyclocondensed with resin-bound

4-(MeCO)C₆H₄CONHCH₂C₆H₄Br-3 and Cs₂CO₃ to give, after resin cleavage, title compd. II. Data for biol. activity of I were given.

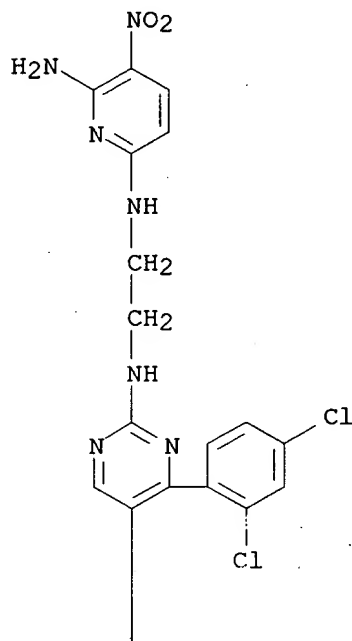
IT **252917-04-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyrimidines and -pyridines as glycogen synthase kinase 3 inhibitors)

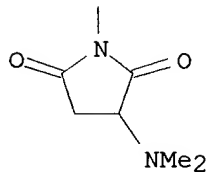
RN 252917-04-7 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[2-[[2-[(6-amino-5-nitro-2-pyridinyl)amino]ethyl]amino]-4-(2,4-dichlorophenyl)-5-pyrimidinyl]-3-(dimethylamino)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 22 CAPLUS COPYRIGHT 2003 ACS

1998:581642 CAPLUS

DN 129:275818

TI Synthesis and antiaggregation activity of 3-aminopiperidine-2,6-dione and 3-aminopyrrolidine-2,5-dione derivatives

AU Krys'ko, A. A.; Kabanov, V. M.; Kabanova, T. A.; Belikova, M. V.; Mazepa, A. V.

CS Fiz.-Khim. Inst. im. Bogatskogo, NAN Ukr., Odessa, Ukraine

SO Khimiko-Farmatsevticheskii Zhurnal (1998), 32(6), 18-20

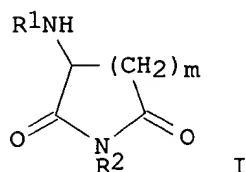
CODEN: KHFZAN; ISSN: 0023-1134

PB Izdatel'stvo Folium

DT Journal

LA Russian

GI



AB Title compds. I (R1 = H, Boc, L-prolyl, L-4-thiazolidinylcarbonyl; R2 = H, 2-, 3-, 4-pyridinyl; m = 1, 2) were prepd. as the free base, monohydrochloride, or dihydrochloride and were submitted to thrombocyte aggregation tests.

IT 213742-20-2P

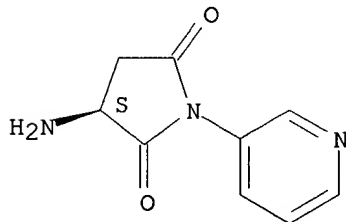
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antiaggregation activity of 3-aminopiperidine-2,6-diones and 3-aminopyrrolidine-2,5-diones)

RN 213742-20-2 CAPLUS

CN 2,5-Pyrrolidinedione, 3-amino-1-(3-pyridinyl)-, dihydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● 2 HCl

IT 213742-15-5P

09/559,881

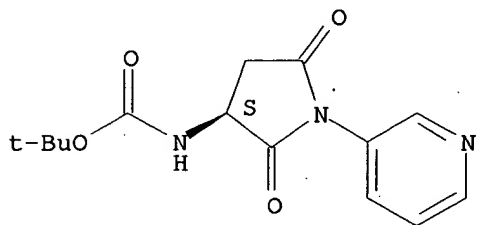
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. and antiaggregation activity of 3-aminopiperidine-2,6-diones
3-aminopyrrolidine-2,5-diones)

RN 213742-15-5 CAPLUS

CN Carbamic acid, [(3S)-2,5-dioxo-1-(3-pyridinyl)-3-pyrrolidinyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



~~LS~~ ANSWER 19 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 1998:65893 CAPLUS

DN 128:140967

TI Preparation of adenosine nucleosides as antihypertensives, cardioprotectives, anti-ischemics and antilipolytics

IN Myers, Michael R.; Maguire, Martin P.; Spada, Alfred P.; Ewing, William R.; Pauls, Henry W.; Choi-Sledeski, Yong-Mi

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA; Myers, Michael R.; Maguire, Martin P.; Spada, Alfred P.; Ewing, William R.; Pauls, Henry W.; Choi-Sledeski, Yong-Mi

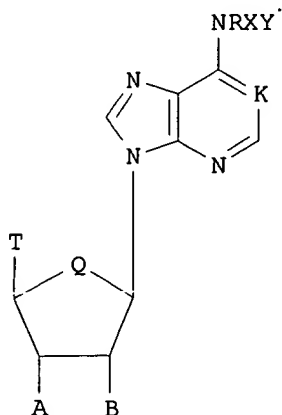
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| PI WO 9801426 | A1 | 19980115 | WO 1997-US11320 | 19970701 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9736454 | A1 | 19980202 | AU 1997-36454 | 19970701 |
| AU 746590 | B2 | 20020502 | | |
| EP 912520 | A1 | 19990506 | EP 1997-933212 | 19970701 |
| EP 912520 | B1 | 20030507 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO | | | | |
| BR 9710156 | A | 19990810 | BR 1997-10156 | 19970701 |
| CN 1228770 | A | 19990915 | CN 1997-197444 | 19970701 |
| JP 2000514801 | T2 | 20001107 | JP 1998-505247 | 19970701 |
| AP 903 | A | 20001124 | AP 1998-1426 | 19970701 |
| W: GH, KE, LS, MW, SD, SZ, UG, ZW | | | | |
| US 6376472 | B1 | 20020423 | US 1998-174191 | 19981016 |
| NO 9900063 | A | 19990308 | NO 1999-63 | 19990107 |
| MX 9900450 | A | 20000131 | MX 1999-450 | 19990108 |
| KR 2000023635 | A | 20000425 | KR 1999-700085 | 19990108 |
| US 2002099030 | A1 | 20020725 | US 2002-104133 | 20020322 |
| US 6559313 | B2 | 20030506 | | |
| PRAI US 1996-21366P | P | 19960708 | | |
| WO 1997-US11320 | W | 19970701 | | |
| OS MARPAT 128:140967 | | | | |
| GI | | | | |



AB Adenosine derivs. and analogs I (K = N, NO, CH; Q = CH₂, O; R = H, alkyl, allyl, 2-methyl-allyl, 2-butenyl, cycloalkyl; X = N-contg. heterocycle; Y = H, alkyl, aralkyl, aryl, heterocycle, hetero-cycloalkyl; T = H, alkyl, acyl, thioacyl, halo, carboxyl, alkoxyethyl; A, B = independently H, alkyl, hydroxyalkyl, OH) were prepd. as anti-hypertensive, cardioprotective, anti-ischemic, and antilipolytic agents, and treating hyperlipidemia and hypercholesterolemia. Thus, (2R,3R,4S,5R)-2-hydroxymethyl-5-[6-[(1-5-chloropyridin-2-yl)-pyrrolidin-3(S)-ylamino]-purin-9-yl]-tetrahydrofuran-3,4-diol was prepd. and tested for its biol. activity (no data).

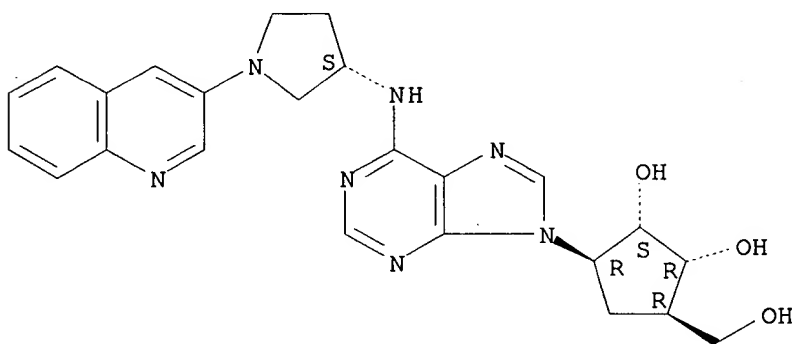
IT 202267-58-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of adenosine nucleosides as antihypertensives cardioprotectives antiischemics and antilipolytics)

RN 202267-58-1 CAPLUS

UN 1,2-Cyclopentanediol, 3-(hydroxymethyl)-5-[6-[(1S)-1-(3-quinolinyl)-3-pyrrolidinyl]amino]-9H-purin-9-yl]-, (1S,2R,3R,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

~~19~~ ANSWER 20 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~AN~~ 1996:34572 CAPLUS

~~DN~~ 124:86817

~~TI~~ Preparation of benzothiopyran derivatives and analogs as bactericides

~~IN~~ Todo, Yozo; Nitsuta, Jun; Hayashi, Kazuya; Takamatsu, Tamotsu; Uehara, Sayuri; Fukuoka, Yoshikazu; Watanabe, Yasuo; Narita, Hirokazu

~~PA~~ Toyama Chemical Co Ltd, Japan

~~SO~~ Jpn. Kokai Tokkyo Koho, 18 pp.

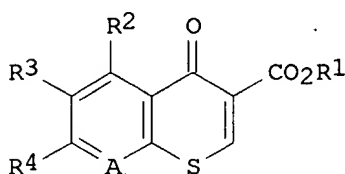
CODEN: JKXXAF

~~DT~~ Patent

~~LA~~ Japanese

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|------|----------|-----------------|----------|
| PI | JP 07242660 | A2 | 19950919 | JP 1994-60218 | 19940304 |
| PRAI | JP 1994-60218 | | 19940304 | | |
| OS | MARPAT 124:86817 | | | | |
| GI | | | | | |



I

AB The title compds. I [R1 = H, CO2H-protecting group; R2 = H, halo, etc.; R3 = H, halo; R4 = (protected) alkylamino, etc.; A = N, CY; Y = H, halo, etc.] are prepd. I.HCl [R1 = R2 = H; R3 = F; R4 = 3-aminopyrrolidin-1-yl; A = CH] (NMR data given) in vitro showed MIC of 0.78 .mu.g/mL against E. coli NIHJ.

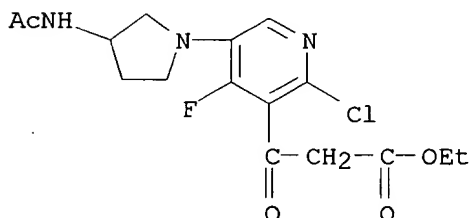
IT 172415-05-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of benzothiopyran derivs. as bactericides)

RN 172415-05-3 CAPLUS

CN 3-Pyridinepropanoic acid, 5-[3-(acetylamino)-1-pyrrolidinyl]-2-chloro-4-fluoro-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IN ANSWER 21 OF 22 CAPLUS COPYRIGHT 2003 ACS

AN 1995:17131 CAPLUS

VDN 122:31332

TI 1-(Heteroaryl)-azetidines and -pyrrolidines as 5-HT₃ receptor agonists

IN Guzzi, Umberto; Giudice, Antonina; Mazza, Vivian; Baroni, Marco; Landi, Marco

PA Elf Sanofi, Fr.; Midy S.p.A.

SO Eur. Pat. Appl., 24 pp.

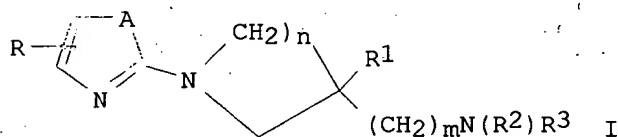
CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 591030 | A2 | 19940406 | EP 1993-402323 | 19930923 |
| | EP 591030 | A3 | 19940427 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| | CA 2106840 | AA | 19940326 | CA 1993-2106840 | 19930923 |
| | AU 9347566 | A1 | 19940331 | AU 1993-47566 | 19930924 |
| | AU 661198 | B2 | 19950713 | | |
| | HU 65405 | A2 | 19940628 | HU 1993-2707 | 19930924 |
| | US 5410057 | A | 19950425 | US 1993-127038 | 19930924 |
| | JP 06192251 | A2 | 19940712 | JP 1993-240188 | 19930927 |
| | US 5565474 | A | 19961015 | US 1995-368915 | 19950105 |
| | US 5576320 | A | 19961119 | US 1995-466912 | 19950606 |
| PRAI | EP 1992-402642 | | 19920925 | | |
| | EP 1992-402643 | | 19920925 | | |
| | US 1993-127038 | | 19930924 | | |
| | US 1995-368915 | | 19950105 | | |
| OS | MARPAT 122:31332 | | | | |
| GI | | | | | |



AB The title compds. [I; A = CH:CH, CH:N N:CH; R = H, halogen, C1-4 alkyl, C1-4 alkoxy, C1-4 alkylthiol, (un)substituted amino, 1-piperidino etc.; R1 = H, Me; R2, R3 = H, C1-4 alkyl; m = 0, 1; n = 1, 2; such that m + n > 2], which are serotonin 5-HT₃ receptor antagonists (no data), are prepd. Thus, 3-(acetylaminomethyl)azetidine chlorohydrate was reacted with 2,6-dichloropyridine, the intermediates subjected to aq. KOH, and salified with isopropanolic HCl, producing 2-(3-aminomethylazetidin-1-yl)-6-chloropyridine hydrochloride, m.p. 200-202.degree..

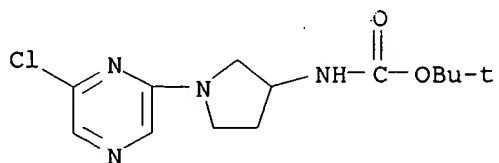
IT 159603-27-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of 5-HT₃ receptor antagonists)

RN 159603-27-7 CAPLUS

CN Carbamic acid, [1-(6-chloropyrazinyl)-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as 5-HT₃ receptor antagonist)

~~L9~~ ANSWER 22 OF 22 CAPLUS COPYRIGHT 2003 ACS

~~JN~~ 1991:42564 CAPLUS

DN 114:42564

TI Preparation of 1-alkyl-2-(carboxyalkenyl)-3-(acylamino)pyrrolidines and analogs as thromboxane A2 antagonists

IN Setoi, Hiroyuki; Sawada, Akihiko; Tanaka, Hirokazu; Hashimoto, Masashi

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 37 pp.

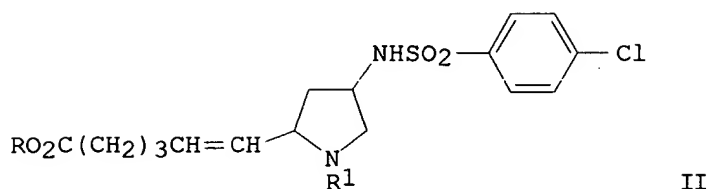
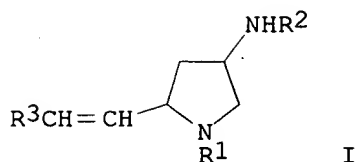
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---|------|----------|-----------------|----------|
| PI | EP 367130 | A2 | 19900509 | EP 1989-119959 | 19891027 |
| | EP 367130 | A3 | 19910313 | | |
| | EP 367130 | B1 | 19961002 | | |
| | R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| | US 5130323 | A | 19920714 | US 1989-421399 | 19891013 |
| | ZA 8907829 | A | 19900725 | ZA 1989-7829 | 19891016 |
| | IL 92010 | A1 | 19930610 | IL 1989-92010 | 19891016 |
| | AU 8943753 | A1 | 19900503 | AU 1989-43753 | 19891026 |
| | AU 628406 | B2 | 19920917 | | |
| | AT 143658 | E | 19961015 | AT 1989-119959 | 19891027 |
| | ES 2092470 | T3 | 19961201 | ES 1989-119959 | 19891027 |
| | CA 2001750 | AA | 19900430 | CA 1989-2001750 | 19891030 |
| | CA 2001750 | C | 19980915 | | |
| | DK 8905405 | A | 19900501 | DK 1989-5405 | 19891030 |
| | NO 8904325 | A | 19900502 | NO 1989-4325 | 19891030 |
| | NO 174886 | B | 19940418 | | |
| | NO 174886 | C | 19940727 | | |
| | CN 1042355 | A | 19900523 | CN 1989-108348 | 19891030 |
| | CN 1024791 | B | 19940601 | | |
| | HU 52044 | A2 | 19900628 | HU 1989-5612 | 19891030 |
| | HU 204033 | E | 19911128 | | |
| | SU 1810061 | A3 | 19930530 | SU 1989-4742358 | 19891030 |
| | FI 89594 | B | 19930715 | FI 1989-5139 | 19891030 |
| | FI 89594 | C | 19931025 | | |
| | JP 02152960 | A2 | 19900612 | JP 1989-285798 | 19891031 |
| | JP 07020928 | B4 | 19950308 | | |
| | RU 2095346 | C1 | 19971110 | RU 1991-5001692 | 19911016 |
| | US 5264453 | A | 19931123 | US 1992-843196 | 19920228 |
| | US 5514701 | A | 19960507 | US 1993-95350 | 19930723 |
| PRAI | GB 1988-25454 | | 19881031 | | |
| | GB 1989-8387 | | 19890413 | | |
| | US 1989-421399 | | 19891013 | | |
| | US 1992-843196 | | 19920228 | | |
| OS | MARPAT 114:42564 | | | | |
| GI | | | | | |



AB The title compds. [I; R1 alkyl, heterocyclalkyl, (un)substituted aralkyl; R2 = H, acyl; R3 = (un)protected carboxyalkyl, carboxyaryl were prepd. as thromboxane A2 synthetase inhibitors. Thus, HO2C(CH2)4Ph3Br was stirred 1 h with (Me3Si)2NLi in THF/HMPA after which the soln. was cooled to -25.degree. and a soln. of (2S,4R)-1-tert-butoxycarbonyl-4-(4-chlorophenylsulfonylamino)-2-formylpyrrolidine (prepn. given) was added and stirring continued 30 min to give pentenylpyrrolidine II (R = H, R1 = COCMe3) which was deprotected and the product (II; R = Me, R1 = H) stirred 3 h with nicotinaldehyde in MeOH contg. NaBH3CN and HOAc to give, after sapon. II (R = H, R1 = 3-pyridylmethyl) which had IC50 of 4.6 .times. 10-8M against thromboxane A2 synthetase in vitro.

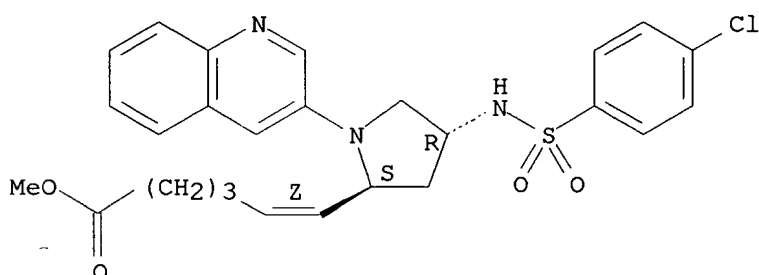
IT **130541-33-2P**

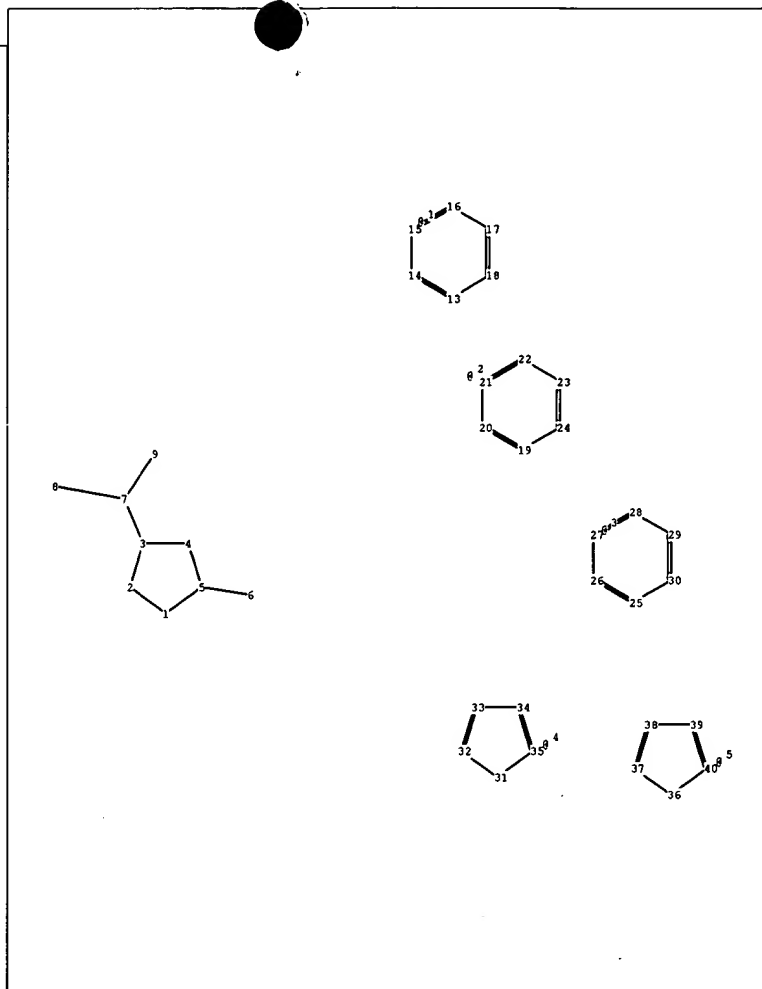
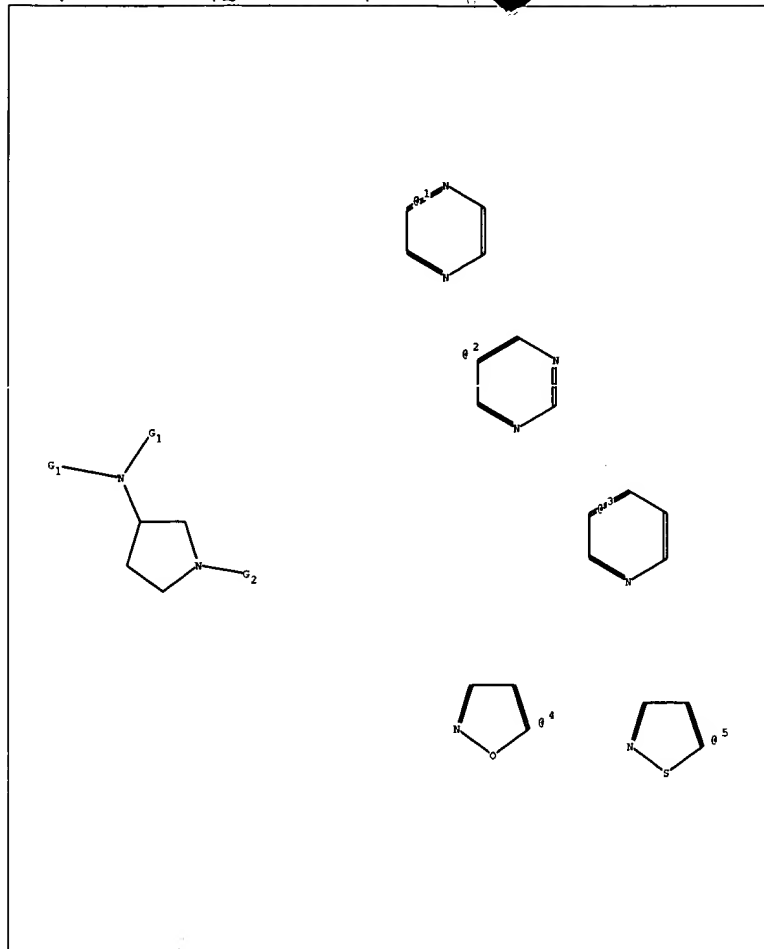
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as thromboxane synthetase inhibitor)

RN 130541-33-2 CAPLUS

CN 5-Hexenoic acid, 6-[4-[[4-(4-chlorophenyl)sulfonyl]amino]-1-(3-quinolinyl)-2-pyrrolidinyl]-, methyl ester, [2S-[2.alpha.(Z),4.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.





chain nodes :

6 7 8 9

ring nodes :

1 2 3 4 5 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27
28 29 30 31 32 33 34 35 36 37 38 39 40

chain bonds :

3-7 5-6 7-8 7-9

ring bonds :

1-2 1-5 2-3 3-4 4-5 13-14 13-18 14-15 15-16 16-17 17-18 19-20
19-24 20-21 21-22 22-23 23-24 25-26 25-30 26-27 27-28 28-29
29-30 31-32 31-35 32-33 33-34 34-35 36-37 36-40 37-38 38-39
39-40

exact/norm bonds :

1-5 3-7 4-5 5-6 7-8 7-9 31-32 31-35 32-33 33-34 34-35 36-37
36-40 37-38 38-39 39-40

exact bonds :

1-2 2-3 3-4

normalized bonds :

13-14 13-18 14-15 15-16 16-17 17-18 19-20 19-24 20-21 21-22
22-23 23-24 25-26 25-30 26-27 27-28 28-29 29-30

isolated ring systems :

containing 1 :

G1:C,H

G2:[*1],[*2],[*3],[*4],[*5]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS
9:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom
28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom
36:Atom 37:Atom 38:Atom 39:Atom 40:Atom